10628309

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(FILE 'HOME' ENTERED AT 15:43:59 ON 08 SEP 2004)

FILE 'REGISTRY' ENTERED AT 15:44:12 ON 08 SEP 2004

L1 STRUCTURE UPLOADED

L2 9 S L1

FILE 'CAPLUS' ENTERED AT 15:44:44 ON 08 SEP 2004 S L1

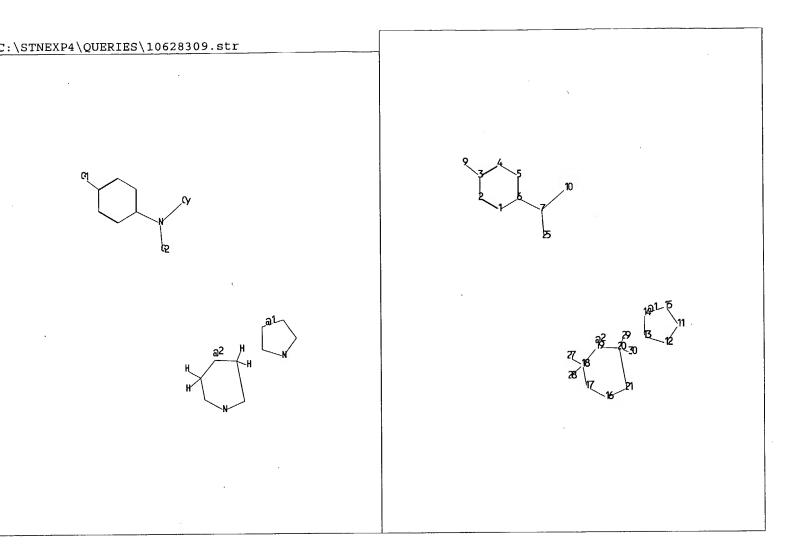
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FILE 'REGISTRY' ENTERED AT 15:45:11 ON 08 SEP 2004
L5 380 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:45:26 ON 08 SEP 2004 L6 22 S L5

=>



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ring nodes :
   1 2 3 4 5 6 11 12 13 14 15 16 17 18 19 20 21
chain bonds :
   3-9 6-7 7-10 7-25 18-27 18-28 20-29 20-30
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-15 12-13 13-14 14-15 16-17 16-21 17-18 18-19
   19-20 20-21
exact/norm bonds :
   3-9 6-7 7-10 7-25 11-12 11-15 12-13 13-14 14-15 16-17 16-21 17-18 18-19 19-20
   20-21
exact bonds :
   18-27 18-28 20-29 20-30
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
   containing 1:
```

G1:C,S,N

G2:[*1],[*2]

chain nodes :

7 9 10 25 27 28 29 30

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 25:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS

10628309

=> d bib abs hitstr 1-22

- L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2004:303271 CAPLUS
- DN 141:54509
- TI N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides, potent, selective δ opioid agonists
- AU Carson, John R.; Coats, Steven J.; Codd, Ellen E.; Dax, Scott L.; Lee, Jung; Martinez, Rebecca P.; Neilson, Lou Anne; Pitis, Philip M.; Zhang, Sui-Po
- CS Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, LLC, Spring House, PA, 19477-0776, USA
- SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2109-2112 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science B.V.
- DT Journal
- LA English
- GΙ
- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB A series of N,N-dialkyl-4-(9-aryltropanylidenemethyl)benzamides, e.g. I, was prepared The lead compds., II (R = H) and II (R = allyl), exhibited extremely high affinity for the δ opioid receptor with excellent selectivity vs. the μ opioid receptor. They were full agonists at the δ opioid receptor, as assessed by stimulation of GTP γS binding, and displayed antinociceptive activity.
- IT 209902-09-0 229477-67-2 287720-75-6
 - 287720-76-7
 - RL: PAC (Pharmacological activity); BIOL (Biological study) (N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides as potent and selective δ opioid agonists)
- RN 209902-09-0 CAPLUS
- CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)- (9CI) (CA INDEX NAME)

- RN 229477-67-2 CAPLUS
- CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyl]amino](9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2$$

$$\begin{array}{c} O \\ \parallel \\ C - NEt_2 \end{array}$$

- RN 287720-75-6 CAPLUS
- CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-ylphenylamino]-N,N-diethyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-76-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[(3-endo)-8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:542815 CAPLUS

DN 140:94567

TI Thermodynamic and adsorption properties of semiinterpenetrating polymer networks based on polybenzimidazoles and polyaminoimide resin

AU Lenskaya, E. V.; Zheivot, V. I.; Mognonov, D. M.

CS Baikal Institute of Nature Management, Siberian Branch of the Russian Academy of Sciences, Ulan-Ude, 670047, Russia

SO Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (2003), 52(5), 1083-1093 CODEN: RCBUEY; ISSN: 1066-5285

PB Kluwer Academic/Consultants Bureau

DT Journal

LA English

RN

CN

AB Thermodn. characteristics of adsorption of organic compds. on semi-interpenetrating polymer networks of a polybenzimidazole and a polyamine-polyimide resin of different compns. were studied using inverse gas chromatog. Specific retention vols. of alkanes, aromatic hydrocarbons, ethers, ketones, alcs., nitrogen-containing compds. and halogen-containing compds., changes in differential molar internal energy, entropy and Helmholtz potential were determined Contributions of the mol. fragments to heat of adsorption were calculated Unlike graphitized carbon black (nonspecific adsorbent), the semi-interpenetrating polymer networks and starting polymers were characterized by both nonspecific and specific interactions (electron-donating and electron-accepting). The difference in the thermodn. characteristics of adsorption on the semiinterpenetrating polymeric networks of different compns. was shown to be dictated by the size and geometry of interphase regions. IT 643066-34-6

RL: POF (Polymer in formulation); PRP (Properties); USES (Uses) (thermodn. and adsorption properties of semi-interpenetrating polymer networks based on polybenzimidazoles and polyamine-polyimides) 643066-34-6 CAPLUS

Poly[(2,5-dioxo-3,1-pyrrolidinediyl)-1,4-phenylenemethylene-1,4-phenylene(2,5-dioxo-1,3-pyrrolidinediyl)imino-1,4-phenylenemethylene-1,4-phenyleneimino], α -[[4-[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)phenyl]methyl]phenyl]amino]- ω -[1-[4-[[4-[3-[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)phenyl]methyl]phenyl]methyl]phenyl]amino]-2,5-dioxo-1-pyrrolidinyl]phenyl]methyl]phenyl]-2,5-dioxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 29 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
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2003:202634 CAPLUS ΑN

DΝ 138:238191

Preparation of 1-[1-(pyrimidin-5-ylcarbonyl)piperidin-4-yl]piperidin-4amines as CCR5 antagonists

Palani, Anandan; Miller, Michael W.; Scott, Jack D. Schering Corporation, USA PCT Int. Appl., 105 pp. IN

PΑ

SO

CODEN: PIXXD2

Patent DT

LA	Eng	grisn																		
FAN.	CNT	1																		
	PATENT NO.					KIN	D	DATE			APPLICATION NO.						DATE			
							-													
ΡI	WO	2003	0207	16		Al		2003	0313	1	WO 2	002-1	US27	389		2	0020	828		
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	HR,	ΗU,		
			ID,	IL,	IN,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,		
			MG,	MK,	MN,	MX,	MZ,	NO,	ΝZ,	PH,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,		
			SL,	ΤJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ΑM,	ΑZ,		
			BY,	KG,	KZ,	MD,	RU,	ТJ,	TM											

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
                CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
                NE, SN, TD, TG
                                                       US 2002-229466
                                                                                    20020828
      US 2004010008
                                        20040115
                                A1
                                                       EP 2002-766142
                                                                                    20020828
                                        20040526
      EP 1421075
                                A1
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                                                       US 2003-628933
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      US 2004092745
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                                                                                    20030729
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                                A1
PRAI US 2001-315683P
                                Р
                                        20010829
      US 2002-229466
                                        20020828
                                АЗ
      WO 2002-US27389
                                        20020828
                                W
OS
      MARPAT 138:238191
GI
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The title compds. [I; R1 = piperidinyl, Ph, etc.; R2 = CH2Ph, 4-pyridylmethyl, etc.; R3 = 4,6-dimethylpyrimidine-5-yl, Ph, etc.; R9, R10, B = H, alkyl, haloalkyl; A = H, alkyl, alkenyl] and their pharmaceutically acceptable salts, useful, alone or in combination with another agent, in the treatment of Human Immunodeficiency Virus (HIV), solid organ transplant rejection, graft v. host disease, arthritis, rheumatoid arthritis, inflammatory bowel disease, atopic dermatitis, psoriasis, asthma, allergies or multiple sclerosis, were prepared E.g., a 6-step synthesis of II, starting from 4-hydroxypiperidine and N-Boc-4-piperidone, which showed IC50 of 1.7 nM in luciferase HIV replication assay, was given.

501446-53-3P

RN

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-[1-(pyrimidin-5-y)carbonyl)piperidin-4-yl]piperidin-4-amines as CCR5 antagonists)

501446-53-3 CAPLUS

[1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N-(4-ethylphenyl)-N-phenyl-4'-methyl- (9CI) (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
1.6
     2002:906156 CAPLUS
AN
      138:4530
DN
      Preparation of 4-[phenyl(piperidin-4-yl)amino] benzamides as \delta opioid
TΙ
      receptor agonists for treating pain, anxiety or gastrointestinal disorders
     Brown, William; Griffin, Andrew; Walpole, Christopher
IN
PA
     Astrazeneca Ab, Swed.
SO
      PCT Int. Appl., 38 pp.
      CODEN: PIXXD2
DT
      Patent
LA
      English
FAN.CNT 1
      PATENT NO.
                             KIND
                                     DATE
                                                   APPLICATION NO.
                                                                               DATE
                                                                               20020516
                                                   WO 2002-SE957
PΙ
     WO 2002094785
                              A1
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               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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               TJ, TM
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                                                   EE 2003-526
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                              Α
                                     20040310
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      EP 1395557
                              A 1
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               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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                                                    BR 2002-9665
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                                      20040722
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                                                                               20031113
      US 2004142966
                              A1
                                      20010518
PRAI SE 2001-1773
                              Α
      WO 2002-SE957
                              W
                                      20020516
      CASREACT 138:4530; MARPAT 138:4530
GI
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compds. [I; Rl = (un)substituted Ph, pyridyl, thienyl, furanyl, imidazolyl, pyrrolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. Thus, reductive amination of the benzamide II (3-step synthesis given) with 3-furaldehyde in the presence of NaBH(OAc)3 in 1,2-dichloroethane followed by hydrolysis of the resulting intermediate afforded I [Rl = 3-furyl]. The exemplified compds. I showed IC50 of 0.4-1.155 nM against human 8 receptor binding.
- IT 477178-28-2P 477178-29-3P 477178-30-6P 477178-31-7P 477178-32-8P 477178-33-9P 477178-34-0P 477178-35-1P 477178-36-2P 477178-37-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN 477178-28-2 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(3-furanylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477178-29-3 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(phenylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477178-30-6 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-thiazolylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477178-31-7 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-pyridinylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

477178-32-8 CAPLUS
Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(3-thienylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CŊ

RN 477178-33-9 CAPLUS

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(4-pyridinylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

RN 477178-34-0 CAPLUS

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(3-pyridinylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

477178-35-1 CAPLUS RN

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl)[1-(2-thienylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN

477178-36-2 CAPLUS
Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-furanylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

477178-37-3 CAPLUS RN

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(1H-pyrrol-2-ylmethyl)-CN 4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ C \\ C \\ N \\ O \end{array}$$

477178-39-5P 477178-40-8P 477178-41-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN

477178-39-5 CAPLUS
Benzamide, 4-[(5-cyano-2-fluorophenyl)[1-(phenylmethyl)-4-CN piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

477178-40-8 CAPLUS RN

CN Benzamide, 4-[(5-cyano-2-fluorophenyl)-4-piperidinylamino]-N,N-bis(1methylethyl) - (9CI) (CA INDEX NAME)

477178-41-9 CAPLUS RN

Benzamide, 4-[(5-cyano-2-fluorophenyl)[1-(3-furanylmethyl)-4piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
1.6
     2002:906154 CAPLUS
ΑN
DN
     138:4529
     Preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as 8 opioid
ΤI
     receptor agonists for treating pain, anxiety or gastrointestinal disorders
IN
     Brown, William; Griffin, Andrew; Walpole, Christopher
     Astrazeneca Ab, Swed.
     PCT Int. Appl., 47 pp.
SO
     CODEN: PIXXD2
דת
     Patent
     English
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     PATENT NO.
                            KIND
                                    DATE
                                                   APPLICATION NO.
                                                                             DATE
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                                                  EE 2003-535
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                                    20040310
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                                                  US 2003-477633
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                                                                             20031113
PRAI SE 2001-1771
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     WO 2002-SE955
                                    20020516
     CASREACT 138:4529; MARPAT 138:4529
OS
GT
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, thienyl, furanyl, imidazolyl, pyrrolyl, triazolyl, thiazolyl, and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety or functional gastrointestinal disorders, were prepared and formulated. Thus, reductive amination of the benzamide II (multi-step synthesis given) with 2-pyridinecarboxaldehyde in the presence of NaBH(OAc)3 in THF followed by hydrolysis of the intermediate afforded I [R1 = 2-pyridyl]. The exemplified compds. I showed IC50 of 0.293-1.18 nM against human δ receptor binding.
- IT 477178-98-6P 477178-99-7P 477179-00-3P 477179-01-4P 477179-02-5P 477179-03-6P 477179-04-7P 477179-05-8P 477179-06-9P

CN

477179-07-0P 477179-08-1P 477179-09-2P 477179-10-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN 477178-98-6 CAPLUS

Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(phenylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477178-99-7 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-pyridinylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477179-00-3 CAPLUS

Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thienylmethyl)-4piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477179-01-4 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thiazolylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

$$CH_2$$
 N
 CH_2
 N
 CH_2
 CH_2

RN

477179-02-5 CAPLUS
Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-thienylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

477179-03-6 CAPLUS RN

Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-furanylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

477179-04-7 CAPLUS

RN

Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-furanylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

RN 477179-05-8 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-chlorophenyl)methyl]-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

$$(i-Pr)_2N-C$$

$$N - CH_2$$

$$C1$$

RN 477179-06-9 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-imidazol-2-ylmethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

477179-07-0 CAPLUS

RN

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-fluorophenyl)methyl]-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477179-08-1 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-pyrrol-2-ylmethyl)-4-

piperidinyl]amino}-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477179-09-2 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-methylphenyl)methyl]-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477179-10-5 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-ethylphenyl)methyl]-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

IT 437986-95-3P 477179-11-6P 477179-12-7P 477179-15-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN 437986-95-3 CAPLUS

CN Benzamide, 4-[(3-cyanophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,Nbis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 477179-11-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[[bis(1-methylethyl)amino]carbonyl]phen yl](3-cyanophenyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477179-12-7 CAPLUS

CN Benzamide, 4-[(3-cyanophenyl)-4-piperidinylamino]-N,N-bis(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 477179-15-0 CAPLUS

CN Benzamide, 4-[(3-cyanophenyl)[1-(2-pyridinylmethyl)-4-piperidinyl]amino]N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:906152 CAPLUS

DN 138:4528

TI Preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders

IN Brown, William; Griffin, Andrew; Walpole, Christopher

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 40 pp. CODEN: PIXXD2

DT Patent

LA English

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PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
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PI	WO 2002094783				A1 20021128			WO 2002-SE945					20020516					
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			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NΖ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,

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UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
               TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
               CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                      20040216
                                                     EE 2003-534
     EE 200300534
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                                                                                20020516
     EP 1395558
                                      20040310
                                                     EP 2002-736338
                              A1
                                                                                20020516
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      BR 2002009669
                               Α
                                      20040420
                                                     BR 2002-9669
                                                                                 20020516
      US 2004152731
                                      20040805
                                                     US 2003-477853
                                                                                 20031113
PRAI SE 2001-1770
                               Α
                                      20010518
     WO 2002-SE945
                               W
                                      20020516
      CASREACT 138:4528; MARPAT 138:4528
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, thienyl, furanyl, imidazolyl, pyrrolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. Thus, reductive amination of the benzamide II (3-step synthesis given) with pyridine-2-carboxaldehyde in the presence of NaBH(OAc)3 in THF followed by hydrolysis of the resulting intermediate afforded I [R1 = 2-pyridyl]. The exemplified compds. I showed IC50 of 0.247-5.405 nM against human δ receptor binding.

T 477178-74-8P 477178-75-9P 477178-76-0P 477178-77-1P 477178-78-2P 477178-79-3P 477178-80-6P 477178-81-7P 477178-82-8P 477178-83-9P 477178-84-0P 477178-85-1P 477178-86-2P 477178-87-3P 477179-42-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN 477178-74-8 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(phenylmethyl)-4piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-75-9 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-pyridinylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-76-0 CAPLUS

RN 477178-77-1 CAPLUS

DN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(3-furanylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-78-2 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-thienylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ C - NH_2 \\ \hline \\ Et_2N - C \\ \parallel \\ O \end{array}$$

477178-79-3 CAPLUS RN

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-[(2,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX CN NAME)

$$\begin{array}{c} O \\ | \\ | \\ C - NEt_2 \\ | \\ N - CH_2 \\ | \\ C1 \end{array}$$

477178-80-6 CAPLUS

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-thiazolylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & C \\$$

RN

477178-81-7 CAPLUS
Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl)[1-[(4-bromophenyl)methyl]-CN 4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O \\
C-NEt_2\\
H_2N-C\\
N\end{array}$$

$$N-CH_2$$
Br

477178-82-8 CAPLUS

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(2-furanylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} O \\ \parallel \\ C - NH_2 \\ \downarrow \\ Et_2N - C \\ \parallel \\ O \end{array}$$

RN

477178-83-9 CAPLUS
Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(4-pyridinylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} O \\ \parallel \\ C-NEt_2 \\ \parallel \\ N - C \\ \parallel \\ N - CH_2 \end{array}$$

Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-[(4-chlorophenyl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA:INDEX

$$\begin{array}{c} O \\ C-NEt_2 \\ H_2N-C \\ N \end{array}$$

RN 477178~85-1 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(3-pyridinylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-86-2 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ C \\ C \\ N \end{array}$$

$$CH_2 - N$$

$$Et_2N - C$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

RN 477178-87-3 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(1H-pyrrol-2-ylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 477179-42-3 CAPLUS

CN Benzamide, 4-[[5-(aminocarbonyl)-2-fluorophenyl][1-(1H-imidazol-2-ylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

477178-88-4P 477178-89-5P 477178-90-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN

477178-88-4 CAPLUS
Benzamide, 4-[(5-cyano-2-fluorophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

RN 477178-89-5 CAPLUS

CN (9CI) (CA INDEX NAME)

477178-90-8 CAPLUS RN

Benzamide, 4-[(5-cyano-2-fluorophenyl)[1-(2-pyridinylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
L6
     2002:906151 CAPLUS
ΑN
DN
     138:4527
     Preparation of 4-[phenyl(piperidin-4-yl)amino] benzamides as \delta opioid
Τſ
     receptor agonists for treating pain, anxiety or gastrointestinal disorders
IN
     Brown, William; Griffin, Andrew; Walpole, Christopher
     Astrazeneca AB, Swed.
PA
so
     PCT Int: Appl., 48 pp.
     CODEN: PIXXD2
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     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                             KTND
                                     DATE
                                                   APPLICATION NO.
                                                                              DATE
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                                                                              20020516
PΤ
     WO 2002094782
                              A1
                                     20021128
                                                   WO 2002-SE944
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               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
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               BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EE 200300554
                                     20040216
                                                   EE 2003-554
                                                                              20020516
                              А
                                                   EP 2002-733702
     EP 1395555
                              A1
                                     20040310
                                                                              20020516
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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PRAI SE 2001-1769 A 20010518 WO 2002-SE944 W 20020516 OS CASREACT 138:4527; MARPAT 138:4527

Α

BR 2002009670

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

20040420

BR 2002-9670

20020516

The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. Thus, reductive amination of the benzamide II (3-step synthesis given) with 2,4-dichlorobenzaldehyde in the presence of NaBH(OAc)3 in THF followed by hydrolysis of the intermediate afforded I [R1 = 2,4-Cl2C6H3]. The exemplified compds. I showed IC50 of 0.155-1.47 nM against human 8 receptor binding.

IT 477178-42-0P 477178-43-1P 477178-44-2P 477178-45-3P 477178-46-4P 477178-47-5P 477178-48-6P 477178-49-7P 477178-50-0P 477178-51-1P 477178-52-2P 477178-53-3P 477178-54-4P 477178-55-5P 477178-56-6P 477178-57-7P 477178-58-8P 477178-59-9P 477178-60-2P 477178-61-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN 477178-42-0 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-43-1 CAPLUS

CN Benzamide, 4-[(3-(aminocarbonyl)phenyl)[1-[(2,4-dichlorophenyl)methyl]-4piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ C-NEt_2 \\ \\ H_2N-C \\ \\ O \end{array}$$

RN 477178-44-2 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(4-pyridinylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$N - CH_2$$
 $N - CH_2$
 $N - CH_2$

RN 477178-45-3 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(1-methyl-1H-imidazol-2-yl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{Me} \\
\text{N} \\
\text{N}
\end{array}$$

$$\begin{array}{c}
\text{C-NH}_2 \\
\text{O}
\end{array}$$

RN 477178-46-4 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-furanylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C-NH_2 \\ \hline \\ C-NEt_2 \\ \hline \\ O \end{array}$$

RN 477178-47-5 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-bromophenyl)methyl]-4piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 477178-48-6 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-methylphenyl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NEt_2 \\ \hline \\ N-CH_2 \\ \hline \\ O \end{array}$$

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-furanylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-50-0 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-thienylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-51-1 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-pyridinylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-52-2 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array} \begin{array}{c} C \\ C \\ N \\ \end{array} \begin{array}{c} C \\ N$$

RN

477178-53-3 CAPLUS
Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thiazolylmethyl)-4-CN piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

477178-54-4 CAPLUS RN

Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thienylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} S & & \\ &$$

477178-55-5 CAPLUS RN

Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-pyridinylmethyl)-4piperidinyl]amino]-N, N-diethyl- (9CI) (CA INDEX NAME)

$$H_{2}N-C$$
 $H_{2}N-C$
 $H_{2}N-C$
 $H_{2}N-C$

RN 477178-56-6 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-chlorophenyl)methyl]-4piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ C-NEt_2 \\ N \\ O \end{array}$$

RN 477178-57-7 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-imidazol-2-ylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array} CH_2 - N \\ \begin{array}{c} N \\ \\ \\ \\ \\ \end{array} C-NEt_2 \\ \begin{array}{c} C \\ \\ \\ \\ \\ \\ \end{array} C$$

RN 477178-58-8 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-methoxyphenyl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & &$$

RN 477178-59-9 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(4-fluorophenyl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ C-NEt_2 \\ \\ H_2N-C \\ \\ O \end{array}$$

RN 477178-60-2 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-pyrrol-2-ylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-61-3 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-[(1-methyl-1H-pyrrol-2-yl)methyl]-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

IT 437986-94-2P 477178-63-5P 477178-64-6P 477178-67-9P 477178-68-0P 477178-69-1P

477178-70-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[phenyl(piperidin-4-yl)amino]benzamides as δ opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

RN 437986-94-2 CAPLUS

CN Benzamide, 4-[(3-cyanophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

RN 477178-63-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-cyanophenyl)[4[(diethylamino)carbonyl]phenyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

RN 477178-64-6 CAPLUS

CN Benzamide, 4-[(3-cyanophenyl)-4-piperidinylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-67-9 CAPLUS

CN Benzamide, 4-[[3-(1,3-dioxolan-2-yl)phenyl][1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 477178-68-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-formylphenyl)[1-(phenylmethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477178-69-1 CAPLUS

CN Benzoic acid, 3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477178-70-4 CAPLUS

CN Benzamide, 4-[(3-cyanophenyl)[1-[(2,4-dichlorophenyl)methyl]-4piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{NC} \\
 & \text{Et}_2N - C \\
 & \text{N} \\
 & \text{C1}
\end{array}$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:793604 CAPLUS

DN 137:310816

 $\mbox{TI}\mbox{ }$ Preparation of bipiperidinyl-derivatives and their use as chemokine receptors inhibitors

IN Albert, Rainer; Bruns, Christian; Nuninger, Francois; Streiff, Markus; Thoma, Gebhard; Zerwes, Hans-Guenter

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	CNT 1																
	PATENT I	NO.			KIN	D	DATE			APPL	ICAT:	ION I	NO.		D	ATE	
						_									~~		
PI	WO 2002	08144	49		A1 20021017			1	WO 2	002-	EP38	71	20020408			408	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LT,	LU,
		LV,	MA,	MD,	MK,	MN,	MX,	NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SE,	SG,
		SI,	SK,	ΤJ,	TM,	TN,	TR,	TT,	UA,	US,	UZ,	VN,	ΥU,	ZA,	ZW,	ΑM,	AΖ
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EP 1379504					A1		2004	0114	•	EP 2	002-	7301	22		2	0020	408
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	BR 2002	00874	41		Α		2004	0622		BR 2	002-	8741			2	0020	408

	JP 2004525174	T2	20040819	JP 2002-579437	20020408
	US 2004142920	A1	20040722	US 2003-472653	20030922
	NO 2003004324	Α	20030926	NO 2003-4324	20030926
PRA	I GB 2001-8876	A	20010409		
	WO 2002-EP3871	M·	20020408		
OS	MARPAT 137:310816				
CT					

Piperidine derivs. I [X = bond, CH2, CH2CH2, CHR9, CO, O, NH, NR9; R1 = R10- and/or R11-substituted Ph, heteroaryl, heteroaryl N-oxide, naphthyl; R2 = R1, R10- and/or R11-substituted fluorenyl or R10-substituted C1-6-alkyl, C2-6-alkenyl, C3-6-cycloalkyl, adamantyl, C4-8-cycloalkenyl; R3 = R2; R1XNR3 = optionally R10-substituted Z; A = CH2, NH, NR9, S, SO, SO2, O; n = 0 - 2; R4, R6 = R5, CN, OH, OR9, F, Cl, Br, I; R5, R7 = H, Cl-6-alkyl, Cl-6-hydroxyalkyl, C2-6-alkoxyalkyl, C1-6-haloalkyl, Ph, CH2Ph, heteroaryl; R8 = H, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, Ph, CH2Ph, CN, CH2NH2, CH2NHR9, CH2N(R9)2, CH2NHCOR9, CH2NR9COR9, CH2NHCONHR9, CH2NR9CONHR9, CH2NR9CON(R9)2, CH2NHCO2R9, CH2NR9CO2R9, CH2NHSO2R9, CH2N(SO2R9)2, CH2NR9SO2R9; R9 = C1-6-alkyl, C3-6-cycloalkyl, C2-6-alkenyl, C2-6-alkynyl, Ph, CH2Ph, heteroaryl, CF3] and their pharmaceutically acceptable salts, have interesting pharmaceutical properties, e.g., as CCR5 inhibitors. Piperidine derivs. I [R10 = C1-6-alkyl, C1-6-hydroxyalkyl, C2-6-alkoxyalkyl, C1-6-haloalkyl, C3-6-cycloalkyl, C2-6-alkenyl, C2-6-cycloalkenyl, C2-6-alkynyl, Ph, heteroaryl, heteroaryl N-oxide, F, Cl, Br, I,OH, OR9, CONH2, CONHR9, CON(R9)2, OC(:O)R9, OCO2R9, OC(:O)NHR9, OC(:O)NHR9, OC(:O)N(R9)2, OSO2R9, CO2H, CO2R9, CF3, CHF2, CH2F, CN, NO2, NH2, NHR9, N(R9)2, NHCOR9, NR9COR9, NHCONHR9, NHCONH2, NR9CONHR9, NR9CON(R9)2, NHCO2R9, NR9CO2R9, NHSO2R9, N(SO2R9)2, NR9SO2R9, SiMe3, B(OCMe3); R11 = two adjacent substituents which form an annulated 4 - 7 membered ring containing up to two heteroatoms of the group N, O, S; Y = bond, CO, COCH2, SO, SO2, CS, CH2, C(CH2CH2), CHR5, C(R4)2] have interesting pharmaceutical properties, e.g., their use as chemokine receptors inhibitors. A process for the preparation of I comprises; (a) amidating I (YR2 = H) with R2Y'A' [Y' = CO, COCH2, SO, SO2]; A' = leaving group, e.g., Cl, Br, OH; (b) reductive amidation of I (YR2 = H); or (c) reacting I (XR1 = H) with R1X"-halogen (X" = CH2, CHR9) . Thus, bipiperidinylbenzamide II (Y = CO, R2 = C6H3Me2-2,6) was prepared from bipiperidinamine II (Y = bond, R2 = H) and 2,6-Me2C6H3COC1 in DMF containing EtN(CHMe2)2 and 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate. Bipiperidinamines I were tested as chemokine receptor inhibitors [IC50 = 2 - 3 nM vs. $[I-125]MIP-1\alpha$ binding to human CCR5 membrane for I (R1 = R3 = Ph, R2 = C6H4Me2-2,6, R4 - R7 = H, R8 = Me, X = Me, R8 =CH2, Y = C:0); IC50 = 10 μ M vs. Ca2+ mobilization for II; chemotaxis by I in presence of MIP-1 α , IC50 = \leq 1 μ M].

470689-70-4P

RN

CN

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bipiperidinyl-derivs. and their use as chemokine receptors inhibitors)

470689-70-4 CAPLUS

1,4'-Bipiperidine, l'-[(2,4-dimethyl-3-pyridinyl)carbonyl]-4'-methyl-4[phenyl[4-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:632801 CAPLUS

DN 137:169426

TI Preparation of 4-(diarylamino)piperidines as δ -opioid receptor agonists/antagonists.

IN Carson, John R.; Susan, Carmosin Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO U.S., 13 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI US 6436959	B1	20020820	US 1998-220189	19981223		
PRAI US 1998-220189		19981223				

OS MARPAT 137:169426

GΙ

AB Title compds. [I; Ar = Ph, naphthyl; Rl, R2 = H, alkyl, (substituted) Ph, PhCH2; RlR2N = pyrrolidinyl, morpholinyl, piperidinyl, hexamethyleneiminyl; R3-R5 = H, alkyl; R6 = H, alkyl, cycloalkylalkyl, alkenyl, alkoxyalkyl, (substituted) thienylalkyl, furylalkyl, pyrrolylalkyl, oxazolylalkyl, etc.; R7 = 0-3 of OH, halo, alkyl, alkoxy, acyl, acyloxy, cyano, amino, acylamino, alkylthio, alkylsulfonyl, CF3, OCF3, etc.; R8 = 0-2 of halo, alkyl, alkoxy, CF3], were prepared Thus, N-(3-methoxyphenyl)-1-propyl-4-piperidinamine (preparation given), N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl and NaOCMe3 in PhMe were heated at 110° under Ar in a pressure vessel for 16 h to give 53% N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate (1:1). The latter gave 97% displacement of [3H]-bremazocine from δ-opioid receptors.

IT 209902-03-4P 229478-69-7P 229478-70-0P 229478-72-2P 229478-73-3P 229478-81-3P 229478-82-4P 229478-81-5P 229478-90-4P 229478-91-5P 229478-96-0P 229478-98-2P 229478-99-3P 229479-00-9P 229479-04-3P 229479-05-4P 229479-01-1P 229479-11-2P 229479-12-3P 229479-13-4P

(9CI)

229479-14-5P 229479-15-6P 229479-16-7P 229479-17-8P 229479-18-9P 229479-19-0P 229479-21-4P 229479-22-5P 229479-23-6P 229479-24-7P 229479-25-8P 229479-26-9P 229479-27-0P 229479-28-1P 229479-29-2P 229479-30-5P 229479-31-6P 229479-32-7P 229479-33-8P 229479-34-9P 229479-35-0P 229479-37-2P 229479-39-4P 229479-40-7P 229479-41-8P 229479-45-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of 4-(diarylamino)piperidines as δ -opioid receptor agonists/antagonists) RN 209902-03-4 CAPLUS CN Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-piperidinyl]amino]-(CA INDEX NAMÉ)

229478-69-7 CAPLUS RN Benzamide, 4-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]phenylamino]-CN N, N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \hline \\ Et_2N-C \\ \hline \\ N \\ \hline \end{array} \begin{array}{c} OMe \\ \hline \\ N-CH_2-CH_2 \\ \hline \end{array} \begin{array}{c} OMe \\ OMe \\ \hline \end{array}$$

229478-70-0 CAPLUS RN CN Benzamide, 4-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl](3fluorophenyl)amino]-N, N-diethyl- (9CI) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \\ \mathsf{N}-\mathsf{CH}_2-\mathsf{CH}_2 \\ \mathsf{N}-\mathsf{CH}_2-\mathsf{CH}_2$$

RN 229478-72-2 CAPLUS Benzamide, 4-[[1-[2-(1,3-benzodioxol-5-yl)ethyl]-4-CN piperidinyl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)

229478-73-3 CAPLUS RN Benzamide, 4-[[1-[2-(1,3-benzodioxol-5-yl)ethyl]-4-piperidinyl](3-CN

methoxyphenyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-81-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & F \\ \hline Et_2N-C & Ph \\ \hline N & CH_2-CH_2 \end{array}$$

RN 229478-82-4 CAPLUS

CN Benzamide, N-ethyl-4-[[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl](4-hydroxyphenyl)amino]-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
\text{Et O} & \text{OH} \\
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\text{N-Pr-N-C} & \\
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RN 229478-88-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \circ & \circ \\ \vdots & \vdots & \circ \\ Et_2N-C & Ph & N-CH_2-CH_2 \\ \hline & & \\$$

RN 229478-89-1 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[(3-methylphenyl)[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{Me} \\ \hline \downarrow & \parallel \\ \text{Et-N-C} & \\ \hline & \text{N---} \text{CH}_2\text{---} \text{CH}_2 \\ \hline \end{array}$$

RN 229478-90-4 CAPLUS

 $\label{eq:cn_def} \textbf{CN} \quad \text{Benzamide, } 4-\{(2,3-\text{dimethoxyphenyl})[1-\{2-\{3-(\text{trifluoromethyl})\text{phenyl}\}-\text{thyl}]\} - (1-\{3-(\text{trifluoromethyl})\text{phenyl}\}-\text{thyl}] - (1-\{3-(\text{trifluoromethyl})\text{phenyl}] - (1-\{3-(\text{trifluoro$

4-piperidinyl]amino]-N-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 229478-91-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & n-Pr \\ & & \\ & & \\ Et_2N-C \\ & & \\ &$$

RN 229478-92-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229478-94-8 CAPLUS

CN Benzamide, 4-{(3-chlorophenyl)(1-propyl-4-piperidinyl)amino}-N,N-diethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 229478-95-9 CAPLUS

RN 229478-96-0 CAPLUS

Benzamide, N,N-diethyl-4-[(2-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME) CN

RN 229478-98-2 CAPLUS

Benzamide, N,N-diethyl-4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME) CN

RN

229478-99-3 CAPLUS
Pyrrolidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

RN 229479-00-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAMÉ)

RN 229479-01-0 CAPLUS

CN Benzamide, 4-[(1-methyl-4-piperidinyl)phenylamino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229479-02-1 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[(1-methyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229479-03-2 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(1-methyl-4-piperidinyl)phenylamino]-(9CI) (CA INDEX NAME)

CN Morpholine, 4-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-05-4 CAPLUS

CN Piperidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA

229479-06-5 CAPLUS
Pyrrolidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) CN (CA INDEX NAME)

RN 229479-07-6 CAPLUS

Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-CN (9CI) (CA INDEX NAME)

RN 229479-09-8 · CAPLUS

CN Benzamide, 4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229479-10-1 CAPLUS

Benzamide, N-ethyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N-CN methyl- (9CI) (CA INDEX NAME)

229479-11-2 CAPLUS RN

Benzamide, 4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-bis(1-CN methylethyl) - (9CI) (CA INDEX NAME)

RN

229479-12-3 CAPLUS Morpholine, 4-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

RN

229479-13-4 CAPLUS
Piperidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

RN 229479-14-5 CAPLUS

RN 229479-15-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229479-16-7 CAPLUS

CN Benzamide, 4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229479-17-8 CAPLUS

CN Benzamide, N-ethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-Nmethyl- (9CI) (CA INDEX NAME)

RN 229479-18-9 CAPLUS

CN Benzamide, 4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N,N-bis(1methylethyl)- (9CI) (CA INDEX NAME)

RN 229479-19-0 CAPLUS

RN 229479-21-4 CAPLUS

RN 229479-22-5 CAPLUS

RN 229479-23-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229479-24-7 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)phenylamino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229479-25-8 CAPLUS

CN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{Me} \\ \parallel & \parallel \\ \text{C-N-Et} \\ \end{array}$$

RN 229479-26-9 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)phenylamino]-N,N-bis(1-methylethyl)(9CI) (CA INDEX NAME)

RN 229479-27-0 CAPLUS

CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA TNDEX NAME)

RN 229479-28-1 CAPLUS

CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-29-2 CAPLUS

CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-30-5 CAPLUS

RN 229479-31-6 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

229479-32-7 CAPLUS RN

CN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-Nmethyl- (9CI) (CA INDEX NAME)

229479-33-8 CAPLUS RN

CN methylethyl) - (9CI) (CA INDEX NAME)

RN

229479-34-9 CAPLUS
Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME)

RN

229479-35-0 CAPLUS
Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME) CN

RN 229479-39-4 CAPLUS
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino], (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-91-5 CMF C26 H37 N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 229479-40-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidinyl)amino], ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-92-6 CMF C25 H35 N3 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 229479-41-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-propyl-4-piperidinyl)amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-58-4 CMF C25 H35 N3 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 229479-45-2 CAPLUS

CN Benzamide, 4-[[3-(acetyloxy)phenyl](1-propyl-4-piperidinyl)amino]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
     2002:465974 CAPLUS
AN
DN
     137:47121
     Preparation of N,N-diarylpiperidin-4-amines
TT
IN
     Griffin, Andrew
     Astrazeneca AB, Swed.
PA
     PCT Int. Appl., 28 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                                                                       DATE
                                 DATE
                                              APPLICATION NO.
                          KIND
                          ____
     WO 2002048108
                                  20020620
                                              WO 2001-SE2780
                                                                       20011213
                           A1
     WO 2002048108
                           В1
                                 20021010
                                  20040415
                           C2
     WO 2002048108
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             TJ, TM
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                                                                       20011213
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                                 20040527
                                                                       20011213
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                           A1
                                 20040408
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                                                                       20030604
     NO 2003002707
                                  20030613
                                              NO 2003-2707
                                                                       20030613
PRAI WO 2000-SE2560
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     SE 2001-1764
                                  20010518
                           Α
     SE 1999-4675
                           Α
                                  19991220
     WO 2001-SE2780
                           W
                                  20011213
     CASREACT 137:47121; MARPAT 137:47121
OS
     R7(CH2)nZNR1R2 [R1 = (un)substituted Ph; R2 = (un)substituted Ph or
     -naphthyl; R7 = (un)substituted thienyl, -imidazolyl, -pyridinyl, -Ph,
     etc.; Z = (alkyl)piperidine-1,4-diyl] were prepared by 1-pot double
     arylation of R7(CH2)nZNH2 by aryl bromides in the presence of of a base, a
     Pd catalyst, and a phosphine ligand.
ΙT
     346664-66-2P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of N,N-diarylpiperidin-4-amines)
RN
     346664-66-2 CAPLUS
     Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(phenylmethyl)-4-
     piperidinyl]amino] - (9CI) (CA INDEX NAME)
```

297750-45-9P 437986-94-2P 437986-95-3P 437986-96-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of N,N-diarylpiperidin-4-amines)

297750-45-9 CAPLUS

Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-CN piperidinyl]amino]- (9CI) (CA INDEX NAME)

437986-94-2 CAPLUS RN

Benzamide, 4-[(3-cyanophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-CN diethyl- (9CI) (CA INDEX NAME)

RN 437986-95-3 CAPLUS

Benzamide, 4-(3-cyanophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-piperidinyl]CN bis(1-methylethyl) - (9CI) (CA INDEX NAME)

RN

437986-96-4 CAPLUS
Benzoic acid, 4-[(3-cyanophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-, CN 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN L6 2001:730549 CAPLUS AN

```
135:283207
     Selective tropane ligands for the delta opioid receptor, their preparation
TI
     and their therapeutic use
     Carroll, F. Ivy; Thomas, James B.; Mascarella, S. Wayne
     Research Triangle Institute, USA
PA
SO
     PCT Int. Appl., 28 pp.
     CODEN: PIXXD2
DT
     Patent
     English
I.A
FAN.CNT 1
     PATENT NO.
                            KIND
                                    DATE
                                                 APPLICATION NO.
                                                                            DATE
     WO 2001072303
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                                                                            20010329
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              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                                    20000329
PRAI US 2000-537668
                             Α
os
     MARPAT 135:283207
GI
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AB The invention discloses substituted tropane derivs. I [Ra, Rb = H, alkyl, alkenyl, or Ra and Rb bonded; X = alkyl; Z = alkyl, OH, OR, CF3, CN etc.; R = alkyl, alkenyl, aryl, alkaryl; Rl = alkyl, alkenyl, alkaryl; n = 0-4; yr = 0-5; Z-substituted ring = 5 or 6 membered (hetero)aryl] which are selective for the delta opioid receptor. The invention also outlines the preparation of these derivs. e.g II (Rl = allyl) and their opioid receptor binding affinities. The inventive compds. may be used to treat disease states which are ameliorated by binding opioid receptors which include heroin addiction and pain (as analgesics).

289468-26-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(delta opioid receptor ligands, preparation and therapeutic use)

RN 289468-26-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)][(3-endo)-8-(2-propenyl)-8azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$H_2C$$
 N
 S
 N
 OMe
 Et_2N
 O

TΤ

289468-27-5P 289468-28-6P 289468-29-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (delta opioid receptor ligands, preparation and therapeutic use)

289468-27-5 CAPLUS RN

Benzamide, 4-[[(3-endo)-8-(22)-2-butenyl-8-azabicyclo[3.2.1]oct-3-yl](3methoxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry. Double bond geometry as shown.

RN 289468-28-6 CAPLUS

Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)]((3-endo)-8-(3-methyl-2-CN butenyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

289468-29-7 CAPLUS RN

Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)]((3-endo)-8-(2-propenyl)-8azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 364039-21-4 364039-22-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(delta opioid receptor ligands, preparation and therapeutic use)

RN 364039-21-4 CAPLUS

CN Benzamide, 4-[[(3-endo)-8-(2Z)-2-butenyl-8-azabicyclo[3.2.1]oct-3-yl](3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

RN 364039-22-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)]((3-endo)-8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 287720-99-4P 289468-31-1P 364039-20-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(delta opioid receptor ligands, preparation and therapeutic use)

RN 287720-99-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)]((3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

 ${\tt Relative \ stereochemistry.}$

RN 289468-31-1 CAPLUS

CN Benzoic acid, 4-[(3-methoxyphenyl)[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 364039-20-3 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yl(3-methoxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2001:472786 CAPLUS
- DN 135:76802
- TI Preparation of 4-[3-hydroxyphenyl)(4-piperidynyl)amino]-N,N-diethylbenzamides useful in the management of pain
- IN Brown, William; Walpole, Christopher

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PA
     Astrazeneca Ab, Swed.
      PCT Int. Appl., 69 pp.
      CODEN: PIXXD2
DT
      Patent
     English
FAN.CNT 2
      PATENT NO.
                             KIND
                                     DATE
                                                   APPLICATION NO.
                                                                               DATE
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      JP 2003518136
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                              T2
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      EE 200200338
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     NO 2003002707
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PRAI SE 1999-4675
                                     19991220
     WO 2000-SE2560
                                     20001215
     SE 2001-1764
                                     20010518
                              А
     WO 2001-SE2780
                              W
                                     20011213
     MARPAT 135:76802
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Т

CN

RN CN

AB The title compds. [I; Rl = (un)substituted Ph, pyridinyl, thiophenyl, furanyl, imidazolyl, triazolyl; Ra, Rb = H, alkyl, NO2, etc.] and their pharmaceutically acceptable salts, useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [Rl = Ph; Ra, Rb = H] was presented. Biol. data for compds. I were given.

IT 346664-69-59

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-[3-hydroxyphenyl)(4-piperidynyl)amino]-N,N-diethylbenzamides useful in the management of pain)

RN 346664-69-5 CAPLUS

Benzamide, 4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

IT 297750-45-9P 346664-73-1P 346664-75-3P 346664-79-7P 346664-80-0P 346664-82-2P 346664-84-4P 346664-86-6P 346664-88-8P 346664-90-2P 346664-92-4P 346664-90-2P 346664-98-0P 346664-99-1P 346665-01-8P 346665-03-0P 346665-05-2P 346665-13-2P 346665-15-4P 346665-11-0P 346665-19-8P 346665-21-2P 346665-23-4P 346665-25-6P 346665-23-4P 346665-25-6P 346665-31-4P 346665-31-6P 346665-31-4P 346665-31-6P 346665-31-4P 346665-31-6P 346665-31-6P 346665-31-4P 346665-31-6P 346665-31-6P 346665-31-4P 346665-31-6P 34

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-[3-hydroxyphenyl)(4-piperidynyl)amino]-N,N-

diethylbenzamides useful in the management of pain)

297750-45-9 CAPLUS

Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346664-73-1 CAPLUS

CN Benzamide, 4-[(2-bromo-5-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

346664-75-3 CAPLUS RN

Benzamide, N,N-diethyl-4-[(5-hydroxy-2-iodophenyl)[1-(phenylmethyl)-4-CN piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2 \\ \hline \\ N \\ \hline \\ Et_2N-C \\ \hline \\ I \\ \end{array}$$

RN 346664-79-7 CAPLUS

Benzamide, 4-[(2,4-dibromo-5-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

RN 346664-80-0 CAPLUS

Benzamide, 4-[(2-chloro-5-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

RN

346664-82-2 CAPLUS
Benzamide, N,N-diethyl-4-[(2-fluoro-5-hydroxyphenyl)[1-(phenylmethyl)-4-CN piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346664-84-4 CAPLUS

CN Benzamide, 4-[(2-bromo-5-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 346664-86-6 CAPLUS

CN Benzamide, 4-[(2-chloro-5-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 346664-88-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-fluoro-5-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346664-90-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(3-furanylmethyl)-4-piperidinyl](3methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 346664-92-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinyl](3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 346664-94-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(3-thienylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346664-96-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(2-thienylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

346664-98-0 CAPLUS

Benzamide, N,N-diethyl-4-[[1-(1H-imidazol-2-ylmethyl)-4-piperidinyl](3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME) CN

RN

34664-99-1 CAPLUS
Benzamide, N,N-diethyl-4-[[1-(3-furanylmethyl)-4-piperidinyl](3-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME) CN

$$CH_2$$
 N
 OH
 CH_2
 OH
 OH
 OH

RN 346665-01-8 CAPLUS

Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(3-thienylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME) CN

RN 346665-03-0 CAPLUS

Benzamide, N,N-diethyl-4-[(5-methoxy-2-methylphenyl)[1-(phenylmethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN

CN

346665-05-2 CAPLUS
Benzamide, N,N-diethyl-4-[(5-hydroxy-2-methylphenyl)[1-[(4-(trifluoromethyl)phenyl]methyl]-4-piperidinyl]amino]- (9CI) (CA INDEX

RN 346665-07-4 CAPLUS

Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-[(4-iodophenyl)methyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME) CN

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C}$$

RN 346665-09-6 CAPLUS

CN Benzamide, 4-[[1-[(4-bromophenyl)methyl]-4-piperidinyl](3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$Et_2N-C$$
 N
 CH_2
 Br

RN 346665-11-0 CAPLUS

CN Benzamide, 4-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl](3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & HO \\ \hline \\ Et_2N-C & N-CH_2 \\ \hline \end{array}$$

RN 346665-13-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl](3-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 346665-15-4 CAPLUS

CN Benzamide, 4-[[1-[(2,4-dichlorophenyl)methyl]-4-piperidinyl](3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 346665-17-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-{(3-fluorophenyl)methyl]-4-piperidinyl](3hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & HO \\ \hline \\ Et_2N-C \\ \hline \\ N & CH_2 \\ \hline \\ F \end{array}$$

RN 346665-19-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-{(2-fluorophenyl)methyl]-4-piperidinyl](3hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \\ \mathsf{HO} \\ \mathsf{N} \\ \mathsf{CH}_2 \\ \mathsf{N} \\ \mathsf{CH}_2$$

RN 346665-21-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-[(4-methylphenyl)methyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{CH}_2 \\ \mathsf{N} \\ \mathsf{Me}$$

RN 346665-23-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinyl](3-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 346665-25-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-thienylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346665-27-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(1H-imidazol-2-ylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346665-29-0 CAPLUS :

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-pyridinylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & HO \\ \hline \\ Et_2N-C & \\ \hline \\ N & \\ \end{array}$$

RN 346665-31-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ C-NEt_2 \end{array}$$

RN 346665-33-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(4-pyridinylmethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346665-35-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-[(1-methyl-1H-imidazol-2-yl)methyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

IT 346665-37-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 4-[3-hydroxyphenyl)(4-piperidynyl)amino]-N,N-diethylbenzamides useful in the management of pain)

RN 346665-37-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 297750-45-9 CMF C29 H35 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 346664-66-2P 346664-67-3P 346664-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[3-hydroxyphenyl)(4-piperidynyl)amino]-N,N-

diethylbenzamides useful in the management of pain)

RN 346664-66-2 CAPLUS

Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 346664-67-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylamino]- (9CI) (CA INDEX NAME)

RN 346664-71-9 CAPLUS

CN Benzamide, 4-[[2-bromo-5-{[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
L6
ΆN
     2001:472716 CAPLUS
DN
     135:76801
ΤI
     Preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid
     derivatives as delta-opioid receptor modulators
     Carson, John R.; Boyd, Robert E.; Neilson, Lou Anne
ΙN
PA
     Ortho-McNeil Pharmaceutical, Inc., USA
     PCT Int. Appl., 62 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
PT
    WO 2001046191.
                          A1
                                20010628
                                            WO 2000-US33055
                                                                    20001204
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6306876
                          В1
                                20011023
                                            US 2000-728972
                                                                    20001204
     EP 1242421
                          A1
                                20020925
                                            EP 2000-983946
                                                                    20001204
```

$$(R^4)_{0-3}Ar(CH_2)_n-N$$

$$\begin{array}{c} CZR^2R^3 \\ II \\ X \end{array}$$

GI

AB 4-[Aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. I [Rl = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, = (un)substituted Ph, etc.; X = S, O; Z = N, O; n = 0, 1; Ar = Ph, 1-naphthyl, 2-naphthyl; R4 = OH, halo, alkyl, CF3, etc.], delta-opioid receptor modulators and useful as analgesics, were prepared E.g. a solution of 8-methyl-N-phenyl-endo-8-azabicyclo[3.2.1]octan-3-amine, N,N-diethyl-4-bromobenzamide, tris(dibenzylideneacetone)dipalladium(0), tri-tert-butylphosphine, and sodium tert-butoxide in dry toluene was heated at about 110°C under argon in a pressure vessel for about 16 h. to give N,N-diethyl-4-

I

[phenyl(endo-8-methyl-8-azabicyclo[3.2.1]octan-3-yl)amino]benzamide isolated as 1:1 fumarate salt.

IT 287721-06-6P 287721-10-2P 346708-40-5P 347888-67-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. as delta-opioid receptor modulators)

RN 287721-06-6 CAPLUS

CN Benzoic acid, 4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287721-10-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3-exo)-8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 346708-40-5 CAPLUS

CN Benzoic acid, 4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA,INDEX NAME)

Relative stereochemistry.

RN 347888-67-9 CAPLUS

CN Benzamide, 4-[[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

```
287720-74-5P 287720-78-9P 287720-81-4P
     287720-83-6P 287720-85-8P 287720-86-9P
     287720-88-1P 287720-90-5P 287720-94-9P
     287720-96-1P 287720-97-2P 287720-98-3P
     287721-03-3P 287721-07-7P 287721-08-8P
     287721-09-9P 287721-11-3P 287721-13-5P
     287721-15-7P 287721-16-8P 287729-25-3P
     287729-26-4P 287729-27-5P 287729-28-6P
     287729-29-7P 346708-06-3P 346708-07-4P
     346708-08-5P 346708-11-0P 346708-12-1P
     346708-13-2P 346708-14-3P 346708-16-5P
     346708-17-6P 346708-24-5P 346708-26-7P
     346708-28-9P 346708-29-0P 346708-41-6P
     346708-42-7P 346708-43-8P 346708-45-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid
        derivs. as delta-opioid receptor modulators)
RN
     287720-74-5 CAPLUS
CN
     Benzamide, 4-[(3-\text{endo})-8-(1,3-\text{benzodioxol}-5-\text{ylmethyl})-8-
     azabicyclo[3.2.1]oct-3-yl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

RN 287720-78-9 CAPLUS

CN Benzamide, N-ethyl-N-(2-methyl-2-propenyl)-4-[phenyl((3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-81-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[(3-endo)-8-propyl-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-83-6 CAPLUS

CN Benzamide, N-methyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-N-propyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-85-8 CAPLUS

CN Benzamide, 4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-86-9 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-88-1 CAPLUS

CN Benzamide, N-butyl-N-ethyl-4-[phenyl{(3-endo)-8-(2-phenylethyl)-8azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

CM

CRN 287721-12-4 CMF C30 H43 N3 O

Relative stereochemistry.

CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 346708-17-6 CAPLUS

Benzamide, N,N-diethyl-4-[[(3-exo)-8-heptyl-8-azabicyclo[3.2.1]oct-3-CN yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN

346708-24-5 CAPLUS Benzoic acid, 4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-CN yl]phenylamino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 287721-05-5 CMF C21 H24 N2 O2

Relative stereochemistry.

CRN 76-05-1

CMF C2 H F3 O2

RN 346708-26-7 CAPLUS

N Benzamide, N,N-dimethyl-4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 287721-02-2 CMF C23 H29 N3 O.

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 346708-28-9 CAPLUS

CN Pyrrolidine, 1-[4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]benzoyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 287721-01-1 CMF C25 H31 N3 O

Relative stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 346708-29-0 CAPLUS

CN Benzamide, N-methyl-4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 346708-41-6 CAPLUS

CN Benzoic acid, 4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\Pr \left(\begin{array}{c} R \\ N \\ S \end{array} \right) = \Pr \left(\begin{array}{c} CO_2H \\ N \\ \end{array} \right)$$

HC1

RN 346708-42-7 CAPLUS

CN Benzamide, N,N-dimethyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 287721-00-0 CMF C30 H35 N3 O

Relative stereochemistry.

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 346708-43-8 CAPLUS

Benzamide, N-butyl-N-methyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

346708-45-0 CAPLUS

RN CN Benzenecarbothioamide, N,N-diethyl-4-[[(3-endo)-8-methyl-8azabicyclo[3.2.1]oct-3-yl]phenylamino]-, mono(trifluoroacetate) (9CI) (CA. INDEX NAME)

CM

CRN 346708-44-9 CMF C25 H33 N3 S

Relative stereochemistry.

CM

CRN 76-05-1 CMF C2 H F3 O2

RN 347888-66-8 CAPLUS

Benzamide, N,N-diethyl-4-[[(3-endo)-8-hexyl-8-azabicyclo[3.2.1]oct-3-CN yl]phenylamino] - (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 287720-73-4P 287720-75-6P 287720-92-7P 287721-00-0P 287721-05-5P 287729-31-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[aryl(8-azabicyclo[3.2.1]octan-3-yl)]aminobenzoic acid derivs. as delta-opioid receptor modulators)

RN 287720-73-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[((3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-75-6 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-ylphenylamino]-N,N-diethyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-92-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl((3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

 ${\tt Relative \ stereochemistry.}$

RN 287721-00-0 CAPLUS

CN Benzamide, N,N-dimethyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN

287721-05-5 CAPLUS
Benzoic acid, 4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-CN yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287729-31-1 CAPLUS

Benzamide, N,N-diethyl-4-[[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN L6
- AN 2001:311845 CAPLUS
- DN 135:77092
- TI Lead development of SL-3111; exploration of a second generation of non-peptide peptide mimetics for potent and selective δ -opioid receptor agonists
- AU Okayama, Toru; Wei, Hongbing; Davis, Peg; Porreca, Frank; Yamamura, Henry I.; Hruby, Victor J.
- Department of Chemistry, University of Arizona, Tucson, AZ, 85721, USA Peptide Science (2001), Volume Date 2000, 37th, 349-352 SO CODEN: PSCIFQ; ISSN: 1344-7661
- PB Japanese Peptide Society
- Journal DT
- English LA
- A symposium report. SL-3111 [1-(4-tert-butyl-3'-hydroxy)benzhydryl-4-AB benzylpiperazine] is a de novo designed non-peptide peptide mimetic agonist of the δ -opioid receptor. In this study, the scaffold piperazine was substituted to generate a diverse set of different conformations. The modification was made by adding or subtracting one carbon atom from the structure of SL-3111 followed by moving the nitrogen atom attached to the benzhydryl moiety out of the ring. A representative solid phase synthesis was also performed to seek the possibility of application to combinatorial chemical
- 346693-26-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solid phase synthesis of SL-3111 analog)

346693-26-3 CAPLUS

Phenol, 3-[[4-(1,1-dimethylethyl)phenyl][1-(phenylmethyl)-4piperidinyl]amino] - (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

2001:146207 CAPLUS AN

DN 134:311264

Synthesis of aminophosphonate and amidophosphate derivatives of 2-indolinone

AH Muzafarova, E. A.; Akhmetova, G. Z.; Gurevich, P. A.

CS Kazan State Technological University, Kazan, Russia

Russian Journal of General Chemistry (Translation of Zhurnal Obshchei Khimii) (2000), 70(8), 1308-1309 CODEN: RJGCEK; ISSN: 1070-3632

PB MAIK Nauka/Interperiodica Publishing

DTJournal

LA English

CASREACT 134:311264 OS

Reaction of indolinones with di-Et hydrogen phosphite and diisopropylamine in refluxing EtOH gave 46-59% 3-hydroxy-3-[(2-diisopropylamino-2diethoxyphosphoryl)alkyl]-2-indolinones. The Pudovik reaction of isatin azomethines with pyrocatechol phosphite in DMF at 90° in the presence of Et3N gave 64-72% pyrocatecholophosphorylaminoindolinones. IT 335354-88-6P 335354-89-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of indolinone aminophosphonates and amidophosphates)

RN 335354-88-6 CAPLUS

CN Benzenesulfonamide, 4-[(2,3-dihydro-2-oxo-1H-indol-3-yl)(2-oxido-1,3,2benzodioxaphosphol-2-yl)amino]- (9CI) (CA INDEX NAME)

RN 335354-89-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)(2-oxido-1,3,2benzodioxaphosphol-2-yl)amino]- (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:530560 CAPLUS

DN 133:261089

TI Synthesis and evaluation of 4-(N,N-diarylamino)piperidines with high selectivity to the δ -opioid receptor: a combined 3D-QSAR and ligand docking study

AU Podlogar, Brent L.; Poda, Gennady I.; Demeter, David A.; Zhang, Sui-Po; Carson, John R.; Neilson, Lou Anne; Reitz, Allen B.; Ferguson, David M.

CS Department of Chemistry, Bayer Research Center, West Haven, CT, 06516, USA

SO Drug Design and Discovery (2000), 17(1), 34-50

CODEN: DDDIEV; ISSN: 1055-9612

PB Harwood Academic Publishers

DT Journal

LA English

A series of 4-(N,N-diarylamino)piperidines are synthesized and evaluated AR for high affinity binding and selectivity to the &-opioid receptor using a combination of 3D-QSAR and mol. docking techniques. Based on exptl. ligand binding data to both μ - and δ -opioid receptors, CoMFA fields are generated and applied to identify potential ligand modifications to further optimize lead compds. Mol. docking expts. to the 8-receptor are also reported that explain the CoMFA trends predicted as well as the differential binding and selectivity displayed by various compds. in the series. An anal. of the binding site model proposed indicates the piperidines take advantage of 3 key sites or binding domains within the δ -receptor. These include an aromatic pocket (approx. 1/3 into the receptor cavity), an aspartic acid residue (which serves as a docking point for the piperidinyl cationic amine) and a hydrophobic pocket at the extracellular boundary of the receptor cavity. Links are established between ligand modification and amino acid composition at these sites in μ and δ , providing new insight to the structural basis to binding and selectivity across the series and for related piperazines $% \left(\frac{1}{2}\right) =\frac{1}{2}\left(\frac{1}{2}\right)$ (i.e. SNC8O and BW373U86). Results are also presented that indicate δ - and μ -selectivity may be determined at alternate sites, suggesting opioid receptors may display multiple binding domains. The model is further supported by comparisons with opiate binding modes and site directed mutagenesis studies and is finally applied to suggest new strategies in ligand design.

209902-09-0 209902-14-7 229477-67-2 229477-68-3 229477-69-4 229477-72-9 229477-73-0 229477-75-2 229477-76-3 229477-79-6 229477-82-1 229477-84-3 229477-88-7 229477-94-5 229477-95-6 229477-96-7 229477-97-8 229478-00-6 229478-03-9 229478-04-0 229478-05-1 229478-06-2 229478-07-3 229478-08-4 229478-09-5 229478-10-8 229478-11-9 229478-14-2 229478-15-3 229478-20-0 229478-21-1 229478-22-2 229478-23-3 229478-30-2 229478-37-9 229478-38-0 229478-39-1 229478-40-4 229478-41-5 229478-58-4 229478-63-1 229478-68-6 229478-94-8 229478-95-9 229478-96-0 229478-98-2 229479-00-9 229479-01-0 229479-02-1 229479-03-2 229479-15-6 229479-16-7 229479-23-6 229479-24-7 229479-25-8 229479-30-5 229479-31-6 229479-32-7 229479-33-8 229479-38-3 297750-36-8 297750-37-9 297750-38-0 297750-39-1 297750-40-4 297750-42-6

297750-43-7 297750-44-8 297750-45-9 297750-46-0 297750-49-3 297750-50-6 297750-51-7 297750-52-8 297750-53-9 297750-54-0 297750-55-1 297750-56-2 297750-57-3 297750-58-4 297750~59-5 297750-60-8 297750-61-9 297750-62-0 297750-63-1 297750-64-2 297750-65-3 297750-66-4 297750-67-5 297750-68-6 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process) (synthesis and evaluation of (diarylamino)piperidines with high selectivity to $\delta\text{-opioid}$ receptor over $\mu\text{-opioid}$ receptor using combined 3D-QSAR and ligand docking study) RN 209902-09-0 CAPLUS Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)- (9CI) (CA INDEX CN NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{HN} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

$$\text{H}_2\text{C} = \text{CH} - \text{CH}_2$$

$$\text{Ph}$$

$$\text{C} - \text{NEt}_2$$

RN 229477-68-3 CAPLUS
CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyl]phenylamino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

RN 229477-69-4 CAPLUS
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-propenyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2$$
 $C - NEt_2$

RN 229477-72-9 CAPLUS

RN 229477-73-0 CAPLUS

RN 229477-75-2 CAPLUS

CN Benzamide, 4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229477-76-3 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229477-79-6 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-(9CI) (CA INDEX NAME)

RN 229477-82-1 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-ethyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229477-84-3 CAPLUS

RN 229477-88-7 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-ethyl-4-piperidinyl)(3-methoxyphenyl)amino]-(9CI) (CA INDEX NAME)

RN 229477-94-5 CAPLUS

CN Benzamide, 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

RN 229477-95-6 CAPLUS

CN Benzamide, 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229477-96-7 CAPLUS

CN Benzamide, 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 229477-97-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-00-6 CAPLUS

CN Benzamide, 4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229478-03-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229478-04-0 CAPLUS

CN Benzamide, 4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

$$n-Pr$$
 N
 F
 N
 $C-N(Pr-n)_2$

RN 229478-05-1 CAPLUS

CN Benzamide, 4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1methylethyl)- (9CI) (CA INDEX NAME)

RN 229478-06-2 CAPLUS

RN 229478-07-3 CAPLUS

CN Benzamide, 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 229478-08-4 CAPLUS

CN Benzamide, 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-09-5 CAPLUS

CN Benzamide, 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-

bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 229478-10-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3-chloro-4-methoxyphenyl)(1-propyl-4piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-11-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 229478-14-2 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-15-3 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-20-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{O} \\ & \text{C-NEt}_2 \end{array}$$

RN 229478-21-1 CAPLUS

CN Benzamide, 4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229478-22-2 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino]- (9Cİ) (CA INDEX NAME)

RN 229478-23-3 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229478-30-2 CAPLUS

CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-37-9 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-38-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(methylthio)phenyl](1-propyl-4piperidinyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 229478-39-1 CAPLUS

CN Benzamide, 4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-40-4 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[[3-(methylthio)phenyl](1-propyl-4piperidinyl)amino]- (9CI) (CA INDEX NAME)

229478-41-5 CAPLUS RN

Benzamide, N,N-dibutyl-4-{[3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME) CN

RN 229478-58-4 CAPLUS

Benzamide, N,N-diethyl-4-{phenyl(1-propyl-4-piperidinyl)amino}- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & & & & \\ & &$$

RN 229478-63-1 CAPLUS

Benzamide, 4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME) CN

229478-68-6 CAPLUS RN

Benzamide, 4-[(2,3-dihydro-1H-inden-5-yl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-94-8 CAPLUS

Benzamide, 4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl-(9CI) (CA INDEX NAME) CN

RN

229478-95-9 CAPLUS
Pyrrolidine, 1-[4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME)

229478-96-0 CAPLUS

Benzamide, N,N-diethyl-4-[(2-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

CN Benzamide, N,N-diethyl-4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino)-(9CI) (CA INDEX NAME)

RN 229479-00-9 CAPLUS
CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229479-01-0 CAPLUS
CN Benzamide, 4-[(1-methyl-4-piperidinyl)phenylamino]-N,N-dipropyl- (9CI)
(CA INDEX NAME)

RN 229479-02-1 CAPLUS
CN Benzamide, N-ethyl-N-methyl-4-[(1-methyl-4-piperidinyl)phenylamino]- (9CI)
(CA INDEX NAME)

229479-15-6 CAPLUS RN

Benzamide, N,N-diethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-CN (9CI) (CA INDEX NAME)

RN 229479-16-7 CAPLUS

Benzamide, 4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-CN (9CI) (CA INDEX NAME)

229479-23-6 CAPLUS RN

Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]- (9CI) (CA CN INDEX NAME)

229479-24-7 CAPLUS RN

Benzamide, 4-[(1-ethyl-4-piperidinyl)phenylamino]-N,N-dipropyl- (9CI) (CA CN INDEX NAME)

229479-25-8 CAPLUS

RN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]-N-methyl- (9CI) CN (CA INDEX NAME)

229479-30-5 CAPLUS RN

Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-

(9CI) (CA INDEX NAME)

RN 229479-31-6 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229479-32-7 CAPLUS

CN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N-methyl- (9CI) (CA INDEX NAME)

RN 229479-33-8 CAPLUS

CN Benzamide, 4-{(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino}-N,N-bis(1methylethyl)- (9CI) (CA INDEX NAME)

RN 229479-38-3 CAPLUS

CN Benzamide, 4-[[3-(acetyloxy)phenyl](1-propyl-4-piperidinyl)amino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

RN 297750-36-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-hydroxyphenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 297750-37-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)(5,6,7,8-tetrahydro-1-naphthalenyl)amino]- (9CI) (CA_INDEX_NAME)

RN 297750-38-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)-3-pyridinylamino](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 297750-39-1 CAPLUS

RN

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 297750-42-6 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

RN 297750-43-7 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl-(9CI) (CA INDEX NAME)

$$n-Pr$$
 N
 $C-NEt_2$

RN 297750-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-propenyl)-4-piperidinyl][4-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{H}_2\text{C} = \text{CH} - \text{CH}_2 \\ & & \\ & & \\ \text{Et}_2\text{N} - \text{C} \\ & &$$

RN 297750-45-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 297750-46-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)(4-hydroxyphenyl)amino]-(9CI) (CA INDEX NAME)

RN 297750-49-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)-4-piperidinylamino]- (9CI) (CA INDEX NAME)

RN 297750-50-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinyl[4-(trifluoromethyl)phenyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 & H \\
N & CF3
\end{array}$$

RN 297750-51-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(1-methylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 297750-52-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN

CN piperidinyl)amino]benzoyl]- (9CI) (CA INDEX NAME)

297750-54-0 CAPLUS RN

Piperidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

297750-55-1 CAPLUS

Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(3-methyl-2-butenyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$Me_2C = CH - CH_2$$
 N
 N
 $C - NEt_2$

297750-56-2 CAPLUS RN

Benzamide, N-ethyl-4-[(3-methoxyphenyl)[l-(2-phenylethyl)-4piperidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

297750-57-3 CAPLUS

Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

RN

Benzamide, 4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

297750-59-5 CAPLUS RN

Benzamide, 4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME) CN

RN 297750-60-8 CAPLUS

Benzamide, 4-[(1-ethyl-4-piperidinyl)(4-hydroxyphenyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME) CN

Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-methoxyphenyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME) CN

RN 297750-62-0 CAPLUS CN Benzamide, 4-(1-naphthalenyl-4-piperidinylamino)-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 297750-63-1 CAPLUS
CN Benzamide, 4-(phenyl-4-piperidinylamino)-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 297750-64-2 CAPLUS
CN Benzamide, 4-[phenyl[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-dipropyl(9CI) (CA INDEX NAME)

RN 297750-65-3 CAPLUS
CN Benzamide, 4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 297750-66-4 CAPLUS

CN Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)(5,6,7,8-tetrahydro-1-naphthalenyl)amino]- (9CI) (CA INDEX NAME)

RN 297750-67-5 CAPLUS

RN 297750-68-6 CAPLUS

CN Benzamide, 4-[1,3-benzodioxol-4-yl(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

IT 229478-91-5P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(synthesis and evaluation of (diarylamino)piperidines with high selectivity to $\delta\text{-opioid}$ receptor over $\mu\text{-opioid}$ receptor using combined 3D-QSAR and ligand docking study)

RN 229478-91-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

IT 229478-92-6P 229479-39-4P 229479-40-7P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(synthesis and evaluation of (diarylamino)piperidines with high selectivity to $\delta\text{-opioid}$ receptor over $\mu\text{-opioid}$ receptor using combined 3D-QSAR and ligand docking study)

RN 229478-92-6 CAPLUS

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

N 229479-39-4 CAPLUS

CN Benzamide, N,N-diethyl-4-((3-methoxyphenyl)(1-propyl-4-piperidinyl)amino), (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-91-5 CMF C26 H37 N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\rm HO_2C}$$
 $^{\rm E}$ $_{\rm CO_2H}$

RN 229479-40-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidinyl)amino)-, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-92-6 CMF C25 H35 N3 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:419974 CAPLUS

DN 133:193302

TI 4-[(8-Alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides: high affinity, selective ligands for the delta opioid receptor illustrate factors important to antagonist activity

AU Thomas, James B.; Atkinson, Robert N.; Rothman, Richard B.; Burgess, Jason P.; Mascarella, S. Wayne; Dersch, Christina M.; Xu, Heng; Carroll, F. Ivy

CS Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(11), 1281-1284 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

GΙ

The tropane derived compds., $4-[(8-alkyl-8-azabicyclo(3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides I (R = allyl, cis-crotyl, prenyl, Rl = Me; R = allyl, Rl = H), were synthesized and found to have high affinity and selectivity for the <math>\delta$ receptor. I are structurally similar to the full agonist (-)-RTI-5989-54; yet, efficacy studies for compds. in this series I reveal greatly diminished agonist activity as well as antagonism not found in piperidine-based compds. like (-)-RTI-5989-54.

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of 4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides as high affinity, selective ligands for delta opioid receptor illustrate factors important to antagonist activity)

RN 289468-26-4 CAPLUS
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)]((3-endo)-8-(2-propenyl)-8-azabicyclo[3.2:1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

RN

Relative stereochemistry.

$$H_2C$$
 S
 OMe
 Et_2N
 O

IT 289468-27-5P 289468-28-6P 289468-29-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of 4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]N,N-diethylbenzamides as high affinity, selective ligands for delta
opioid receptor illustrate factors important to antagonist activity)
289468-27-5 CAPLUS

CN Benzamide, 4-[[(3-endo)-8-(2Z)-2-butenyl-8-azabicyclo[3.2.1]oct-3-yl](3-methoxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 289468-28-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3-endo)-8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 289468-29-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)](3-endo)-8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 287720-99-4P 289468-31-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides as high affinity, selective ligands for delta opioid receptor illustrate factors important to antagonist activity)

RN 287720-99-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)]((3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 289468-31-1 CAPLUS

CN Benzoic acid, 4-[(3-methoxyphenyl)]((3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

```
ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
L6
ИA
     2000:379678 CAPLUS
DN
     133:144474
     Synthesis and binding affinities of 4-diarylaminotropanes, a new class of
TТ
     delta opioid agonists
     Boyd, Robert E.; Carson, John R.; Codd, Ellen E.; Gauthier, A. Diane;
     Neilson, Lou Anne; Zhang, Sui-Po
     Drug Discovery, R. W. Johnson Pharmaceutical Research Institute,
CS
     Philadelphia, PA, 19477, USA
     Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1109-1111
     CODEN: BMCLE8; ISSN: 0960-894X
PB
     Elsevier Science Ltd.
DT
     Journal
     English
LA
     A series of 4-diarylaminotropanes has been prepared Both endo and exo
AB
     diastereomeric forms bound to the delta opioid receptor but the endo
     isomers were more potent and selective vs. the \boldsymbol{\mu} opioid receptor than
     the exo isomers. The most potent delta opioid agonist exhibited a delta
     opioid Ki of 0.2 nM and was 860-fold selective over mu.
     229477-67-2 287720-73-4 287720-74-5
     287720-75-6 287720-76-7 287720-77-8
     287720-78-9 287720-79-0 287720-81-4
```

229477-67-2 287720-73-4 287720-74-5
287720-75-6 287720-76-7 287720-77-8
287720-78-9 287720-79-0 287720-81-4
287720-83-6 287720-85-8 287720-86-9
287720-88-1 287720-90-5 287720-92-7
287720-94-9 287720-95-0 287720-96-1
287720-97-2 287720-98-3 287720-99-4
287721-00-0 287721-01-1 287721-02-2
287721-03-3 287721-04-4 287721-05-5
287721-06-6 287721-07-7 287721-08-8
287721-09-9 287721-10-2 287721-11-3
287721-12-4 287721-13-5 287721-14-6
287721-15-7 287721-16-8 287729-25-3
287729-26-4 287729-31-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synthesis and binding affinities of 4-diarylaminotropanes, a new class of delta opioid agonists) $\dot{}$

RN 229477-67-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyl]amino]-(9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2$$
 Ph
 $C - NEt_2$

RN 287720-73-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[((3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-74-5 CAPLUS

CN Benzamide, 4-[[(3-endo)-8-(1,3-benzodioxol-5-ylmethyl)-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ \hline \\ & & \\ & & \\ \hline \\ & & \\ & & \\ \hline \\ & & \\ \end{array}$$

RN 287720-75-6 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-ylphenylamino]-N,N-diethyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-76-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[(3-endo)-8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$H_2C$$
 S
 Ph
 NEt_2

RN 287720-77-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3-endo)-8-cyano-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-78-9 CAPLUS

CN Benzamide, N-ethyl-N-(2-methyl-2-propenyl)-4-[phenyl((3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-79-0 CAPLUS

CN Benzamide, N-ethyl-4-[[(3-endo)-8-methyl-8-azabicyclo{3.2.1}oct-3-yl}phenylamino]-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} R \\ Me \\ S \\ Ph \end{array}$$

RN 287720-81-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[(3-endo)-8-propyl-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-83-6 CAPLUS

CN Benzamide, N-methyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-N-propyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-85-8 CAPLUS

CN Benzamide, 4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-86-9 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-88-1 CAPLUS

CN Benzamide, N-butyl-N-ethyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-90-5 CAPLUS

CN Benzamide, N-methyl-4-[[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]phenylamino]-N-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 287720-92-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[(3-endo)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

287729-31-1 CAPLUS RN

Benzamide, N,N-diethyl-4-[[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3yl]phenylamino] - (9CI) (CA INDEX NAME)

Relative stereochemistry.

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 22 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN L6

AN 1999:460403 CAPLUS

131:87826 DN

Preparation of 4-[aryl(piperidin-4-yl)]aminobenzamides which bind to the ΤI delta-opioid receptor

Carson, John R.; Carmosin, Richard J.; Fitzpatrick, Louis J.; Reitz, Allen B.; Jetter, Michele C.

PΑ Ortho-Mcneil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

										APPLICATION NO.							DATE		
ΡI		9933806								WO 1998-US27350						19981223			
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			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
								MR,											
									CA 1998-2316341						19981223				
								AU 1999-20097											
	-						ZA 1998-11842												
	= -								EP 1998-964871										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
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	TW 476755								TW 1998-87121577						1	9990	211		
PRAI	US 1997-68794P																		
	WO 1998-US27350 MARPAT 131:87826					W		1998	1223										
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GI																			

$$\begin{array}{c|c}
\text{CONR}^{1}R^{2} \\
R_{0?3}^{7} - \text{Ar} \\
R_{0?2}^{8}
\end{array}$$

$$\begin{array}{c|c}
R_{0?2}^{8} \\
R_{0?2}^{8}
\end{array}$$

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4-[Aryl(piperidin-4-yl)]aminobenzamides I [Ar = Ph, 1-naphthyl,
AB
     2-naphthyl; R1, R2 = H, alkyl, Ph, PhCH2, etc.; R3, R4, R5 = H, alkyl; R6 = H, alkyl, alkenyl, etc.; R7 = OH, halo, alkoxy, etc.; R8 = halo, alkyl,
     alkoxy, CF3 ], δ-opioid receptor agonists/antagonists, were prepared
     E.g., N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-
     yl)amino]benzamide fumarate was prepared As delta-opioid receptor agonists,
     such compds. are useful as analgesics.
ΙT
     209902-03-4P 229477-67-2P 229477-68-3P
     229477-69-4P 229477-71-8P 229477-72-9P
     229477-73-0P 229477-74-1P 229477-75-2P
     229477-76-3P 229477-77-4P 229477-78-5P
     229477-79-6P 229477-80-9P 229477-81-0P
     229477-82-1P 229477-83-2P 229477-84-3P
     229477-85-4P 229477-86-5P 229477-87-6P
     229477-88-7P 229477-89-8P 229477-90-1P
     229477-91-2P 229477-92-3P 229477-93-4P
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     229477-97-8P 229477-98-9P 229478-00-6P
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     229478-20-0P 229478-21-1P 229478-22-2P
     229478-23-3P 229478-25-5P 229478-26-6P
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     229478-67-5P 229478-68-6P 229478-69-7P
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     229478-77-7P 229478-79-9P 229478-81-3P
     229478-82-4P 229478-84-6P 229478-85-7P
     229478-88-0P 229478-89-1P 229478-90-4P
     229478-91-5P 229478-92-6P 229478-93-7P
     229478-94-8P 229478-95-9P 229478-96-0P
     229478-98-2P 229478-99-3P 229479-00-9P
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     229479-04-3P 229479-05-4P 229479-06-5P
     229479-07-6P 229479-09-8P 229479-10-1P
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     229479-14-5P 229479-15-6P 229479-16-7P
     229479-17-8P 229479-18-9P 229479-19-0P
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     229479-37-2P 229479-38-3P 229479-39-4P
     229479-40-7P 229479-41-8P 229479-45-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation and \delta-opioid receptor binding of
        [aryl(piperidinyl)]aminobenzamides)
     209902-03-4 CAPLUS
RN
     Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-piperidinyl]amino]-
     (9CI) (CA INDEX NAME)
```

RN 229477-67-2 CAPLUS

RN 229477-68-3 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\
 & C - NEt_2
\end{array}$$

$$\begin{array}{c|c}
 & C - NEt_2
\end{array}$$

RN 229477-69-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-propenyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 229477-71-8 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyl](3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 229477-72-9 CAPLUS

RN 229477-73-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-(9CI) (CA INDEX NAME)

RN 229477-74-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-phenylethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 229477-75-2 CAPLUS

CN Benzamide, 4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229477-76-3 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229477-77-4 CAPLUS

CN Benzamide, 4-[(3-hydroxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229477-78-5 CAPLUS

RN 229477-79-6 CAPLUS

RN 229477-80-9 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3-hydroxyphenyl)[1-(2-phenylethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 229477-81-0 CAPLUS

CN Benzamide, N, N-dibutyl-4-[(1-methyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229477-82-1 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-ethyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229477-83-2 CAPLUS

RN 229477-84-3 CAPLUS

RN 229477-85-4 CAPLUS

RN 229477-86-5 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3-fluorophenyl)[1-(2-phenylethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 229477-87-6 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

RN 229477-88-7 CAPLUS

RN 229477-89-8 CAPLUS

RN 229477-90-1 CAPLUS

CN Benzamide, 4-[1,3-benzodioxol-5-yl(1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 229477-91-2 CAPLUS

CN Benzamide, 4-[1,3-benzodioxol-5-yl(1-propyl-4-piperidinyl)amino]-N,Ndipropyl- (9CI) (CA INDEX NAME)

RN 229477-92-3 CAPLUS

CN Benzamide, 4-[1,3-benzodioxol-5-yl(1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 229477-93-4 CAPLUS

CN Benzamide, 4-[1,3-benzodioxol-5-yl(1-propyl-4-piperidinyl)amino]-N,N-dibutyl- (9CI) (CA INDEX NAME)

RN 229477-94-5 CAPLUS

CN Benzamide, 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 229477-95-6 CAPLUS

CN Benzamide, 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

229477-96-7 CAPLUS RN

Benzamide, 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-CN bis(1-methylethyl) - (9CI) (CA INDEX NAME)

RN 229477-97-8 CAPLUS

Benzamide, N,N-dibutyl-4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME) CN

229477-98-9 CAPLUS

Benzamide, N,N-diethyl-4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME) CN

229478-00-6 CAPLUS

Benzamide, 4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-CN (9CI) (CA INDEX NAME)

RN 229478-01-7 CAPLUS

Benzamide, 4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 229478-02-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

RN 229478-03-9 CAPLUS

Benzamide, N,N-diethyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229478-04-0 CAPLUS

CN Benzamide, 4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9Cl) (CA INDEX NAME)

RN 229478-05-1 CAPLUS

CN Benzamide, 4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

Benzamide, N,N-dibutyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

229478-07-3 CAPLUS RN

Benzamide, 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-CN diethyl- (9CI) (CA INDEX NAME)

229478-08-4 CAPLUS RN

Benzamide, 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME) CN

229478-09-5 CAPLUS

Benzamide, 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,Nbis(1-methylethyl) - (9CI) (CA INDEX NAME)

229478-10-8 CAPLUS RN

Benzamide, N,N-dibutyl-4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-CN piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-11-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C}$$

RN 229478-13-1 CAPLUS

CN Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-14-2 CAPLUS

N Benzamide, N,N-bis(1-methylethyl)-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-15-3 CAPLUS

RN 229478-16-4 CAPLUS

CN Benzamide, 4-((3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ \text{Et}_2 \text{N} - \text{C} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\$$

RN 229478-17-5 CAPLUS

CN Benzamide, 4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,Ndipropyl- (9CI) (CA INDEX NAME)

RN 229478-18-6 CAPLUS

CN Benzamide, 4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 229478-19-7 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-20-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{II} \\ \text{C-NEt}_2 \end{array}$$

RN 229478-21-1 CAPLUS

CN Benzamide, 4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229478-22-2 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(3-methylphenyl)(1-propyl-4piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-23-3 CAPLUS CN Benzamide, N,N-dibut

Benzamide, N,N-dibutyl-4-[(3-methylphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

RN 229478-25-5 CAPLUS

Benzamide, N,N-diethyl-4-[(4-methylphenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229478-26-6 CAPLUS

CN Benzamide, 4-[(4-methylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229478-27-7 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-((4-methylphenyl)(1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-28-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(4-methylphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

RN 229478-29-9 CAPLUS

CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 229478-30-2 CAPLUS

CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-31-3 CAPLUS

CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1methylethyl)- (9CI) (CA INDEX NAME)

RN 229478-32-4 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-33-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-34-6 CAPLUS

CN Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-35-7 CAPLUS

CN Benzamide, N,N-bis(l-methylethyl)-4-[(l-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-37-9 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-38-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(methylthio)phenyl](1-propyl-4piperidinyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 229478-39-1 CAPLUS

CN Benzamide, 4-[(3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

10628309

RN 229478-40-4 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-41-5 CAPLUS

CN Benzamide, N,N-dibutyl-4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-58-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 229478-63-1 CAPLUS

CN Benzamide, 4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

229478-64-2 CAPLUS RN

Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)(5,6,7,8-tetrahydro-2-naphthalenyl)amino]- (9CI) (CA INDEX NAME)

RN

229478-66-4 CAPLUS
Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)(5,6,7,8-tetrahydro-2-naphthalenyl)amino]- (9CI) (CA INDEX NAME) CN

229478-67-5 CAPLUS

Benzamide, 4-[(2,3-dihydro-1H-inden-5-yl)(l-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME) CN

RN 229478-68-6 CAPLUS

CN Benzamide, 4-[(2,3-dihydro-1H-inden-5-yl)(1-propyl-4-piperidinyl)amino]N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-69-7 CAPLUS

CN Benzamide, 4-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]phenylamino]N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \hline \\ Et_2N-C & Ph \\ \hline \\ N & CH_2-CH_2 \end{array} \\ \begin{array}{c} OMe \\ OMe \\ \hline \\ OMe \\$$

RN 229478-70-0 CAPLUS

CN Benzamide, 4-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl](3fluorophenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{CH}_2 \\ \mathsf{CH}_2 \\ \mathsf{CH}_2 \\ \mathsf{OMe}$$

RN 229478-72-2 CAPLUS

CN Benzamide, 4-[[1-[2-(1,3-benzodioxol-5-yl)ethyl]-4-piperidinyl]phenylamino]-N,N-diethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{N-CH}_2\text{-CH}_2 \\ & \text{N} & \text{O} \\ & \text{Et}_2\text{N-C} \\ & \text{O} \end{array}$$

RN 229478-73-3 CAPLUS

CN Benzamide, 4-[[1-[2-(1,3-benzodioxol-5-yl)ethyl]-4-piperidinyl](3-methoxyphenyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-77-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-[2-(2-thienyl)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ C-NEt_2 \end{array}$$

RN 229478-79-9 CAPLUS

CN Benzamide, 4-[(2-fluorophenyl)[1-[2-(2-thienyl)ethyl]-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-81-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-[2-(2-fluorophenyl)ethyl]-4piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

RN 229478-82-4 CAPLUS

CN Benzamide, N-ethyl-4-[[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl](4-hydroxyphenyl)amino]-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et O} & \text{OH} \\ \hline \\ n-\text{Pr}-\text{N-C} \\ \hline \\ N \end{array} \begin{array}{c} \text{OH} \\ \hline \\ N \end{array} \begin{array}{c} \text{CH}_2-\text{CH}_2 \\ \hline \end{array}$$

CN Benzamide, N,N-diethyl-4-[phenyl[1-[2-(3-pyridinyl)ethyl]-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 229478-85-7 CAPLUS

CN Benzamide, 4-[[3-(methylthio)phenyl][1-[2-(3-pyridinyl)ethyl]-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229478-88-0 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Et}_2\mathsf{N}-\mathsf{C} & \mathsf{Ph} & \mathsf{N}-\mathsf{CH}_2-\mathsf{CH}_2 \\ \hline & \mathsf{N} & \mathsf{N}-\mathsf{CH}_2-\mathsf{CH}_2 \\ \end{array}$$

RN 229478-89-1 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[(3-methylphenyl)]1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 229478-90-4 CAPLUS

CN Benzamide, 4-[(2,3-dimethoxyphenyl)[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]-N-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 229478-91-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229478-92-6 CAPLUS

RN 229478-93-7 CAPLUS

RN 229478-94-8 CAPLUS

CN Benzamide, 4-((3-chlorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl-(9CI) (CA INDEX NAME)

RN 229478-95-9 CAPLUS

10628309

229478-96-0 CAPLUS RN

Benzamide, N,N-diethyl-4-[(2-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

RN 229478-98-2 CAPLUS

Benzamide, N,N-diethyl-4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)

RN

229478-99-3 CAPLUS
Pyrrolidine, 1-[4-[1-naphthalenyl(1-propyl-4-piperidinyl)amino]benzoyl]-(9CI) (CA INDEX NAME) CN

10628309

RN 229479-00-9 CAPLUS

CN Benzamide, N,N-diethyl-4-{(l-methyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229479-01-0 CAPLUS

CN Benzamide, 4-[(1-methyl-4-piperidinyl)phenylamino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229479-02-1 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[(1-methyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229479-03-2 CAPLUS

CN Morpholine, 4-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA TNDEX NAME)

RN 229479-05-4 CAPLUS

CN Piperidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-06-5 CAPLUS

CN Pyrrolidine, 1-[4-[(1-methyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-07-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino](9CI) (CA INDEX NAME)

RN 229479-09-8 CAPLUS

CN Benzamide, 4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 229479-10-1 CAPLUS

CN Benzamide, N-ethyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-Nmethyl- (9CI) (CA INDEX NAME)

RN 229479-11-2 CAPLUS

CN Benzamide, 4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 229479-12-3 CAPLUS

CN Morpholine, 4-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl](9CI) (CA INDEX NAME)

RN 229479-13-4 CAPLUS

RN 229479-14-5 CAPLUS

Pyrrolidine, 1-[4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

RN 229479-15-6 CAPLUS

Benzamide, N,N-diethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME) CN

RN 229479-16-7 CAPLUS

Benzamide, 4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-(9CI) (CA INDEX NAME) CN

229479-17-8 CAPLUS RN

Benzamide, N-ethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N-CNmethyl- (9CI) (CA INDEX NAME)

RN 229479-18-9 CAPLUS

Benzamide, 4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N,N-bis(1-CNmethylethyl) - (9CI) (CA INDEX NAME)

RN

229479-19-0 CAPLUS
Morpholine, 4-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

RN

229479-21-4 CAPLUS
Piperidine, 1-[4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]benzoyl]-CN (9CI) (CA INDEX NAME)

229479-22-5 CAPLUS RN

Pyrrolidine, 1-{4-{(3-fluorophenyl)(1-methyl-4-piperidinyl)amino}benzoyl}-(9CI) (CA INDEX NAME)

10628309

RN 229479-23-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)

RN 229479-24-7 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)phenylamino]-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 229479-25-8 CAPLUS

CN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]-N-methyl- (9CI)
 (CA INDEX NAME)

RN 229479-26-9 CAPLUS

RN 229479-27-0 CAPLUS
CN Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-28-1 CAPLUS
CN Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

RN 229479-29-2 CAPLUS
CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)phenylamino]benzoyl]- (9CI) (CA INDEX NAME)

229479-32-7 CAPLUS RN

Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N-CN methyl- (9CI) (CA INDEX NAME)

RN 229479-33-8 CAPLUS

Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME) CN

229479-34-9 CAPLUS

Morpholine, 4-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME)

229479-35-0 CAPLUS
Piperidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl]-(9CI) (CA INDEX NAME) CN

CN Pyrrolidine, 1-[4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]benzoyl}-(9CI) (CA INDEX NAME)

RN 229479-38-3 CAPLUS
CN Benzamide, 4-[[3-(acetyloxy)phenyl](1-propyl-4-piperidinyl)amino]-N,Ndiethyl- (9CI) (CA INDEX NAME)

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C}$$

RN 229479-39-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-91-5 CMF C26 H37 N3 O2

$$\mathsf{Et}_2\mathsf{N}-\mathsf{C} \xrightarrow{\mathsf{N}} \mathsf{N}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 229479-40-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidinyl)amino]-, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-92-6 CMF C25 H35 N3 O2

$$\begin{array}{c|c} & \text{HO} & \text{O} \\ & \text{N} & \text{C-NEt}_2 \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 229479-41-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-propyl-4-piperidinyl)amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-58-4 CMF C25 H35 N3 O

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 229479-45-2 CAPLUS

CN Benzamide, 4-[[3-(acetyloxy)phenyl](1-propyl-4-piperidinyl)amino]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
L6
AN
     1998:479507 CAPLUS
     129:108996
DN
     Preparation of 4-aminopiperidines with analgesic effect
                                                                          This apph
ΤI
     Pelcman, Benjamin; Roberts, Edward
ΙN
     Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)
PA
     PCT Int. Appl., 70 pp.
     CODEN: PIXXD2
DT
     Patent
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     English
FAN.CNT 1
                                                                     DATE
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                                             WO 1997-SE2051
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                                             NZ. 1997-336028
                                                                     19971209
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                                             RU 1999-115746
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                                             SK 1999-763
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                                             US 2000-645565
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                                                                     20020415
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                                 20040323
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     US 6710179
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                           А
     WO 1997-SE2051
                           W
                                 19971209
                                 19980303
     US 1998-29468
                           АЗ
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     US 2000-645565
                           А3
os
     MARPAT 129:108996
GΙ
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The title compds. [I; m = 0-1; n = 0-2; Rl = H, Cl-6 alkyl, C3-8 cycloalkyl, etc.; A = II, III, etc. (wherein R6-R9 = Rl; Zl, Z2 = H, Me, halo, etc.); B = (un)substituted aromatic, heteroarom., etc.], useful in therapy, in particular in the management of pain, treatment of gastrointestinal disorders, spinal injuries, sympathetic nervous system disorders, and, when isotopically labeled, as diagnostic agent (no data), were prepared Thus, reaction of 4-[N-(1-benzylpiperidin-4-yl)amino]-N,N-diethylbenzamide with tri-1-naphthylbismuth in the presence of Cu(OAc)2 in PhMe afforded 83% IV.
- IT 209902-03-4P 209902-08-9P 209902-09-0P 209902-33-0P 209902-38-5P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-aminopiperidines with analgesic effect)

RN 209902-03-4 CAPLUS

RN 209902-08-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[2-naphthalenyl[1-(phenylmethyl)-4piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 209902-09-0 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)- (9CI) (CA INDEX NAME)

RN 209902-33-0 CAPLUS

10628309

209902-38-5 CAPLUS RN

 ${\tt Benzene sulfonamide,\ N,N-diethyl-4-[phenyl[l-(phenylmethyl)-4-leading)]} \\$ CN piperidinyl]amino]- (9CI) (CA INDEX NAME)

209902-04-5P 209902-05-6P 209902-06-7P

209902-07-8P 209902-10-3P 209902-11-4P

209902-12-5P 209902-13-6P 209902-14-7P

209902-34-1P 209902-35-2P 209902-36-3P

209902-39-6P 209902-40-9P 209902-41-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)

(preparation of 4-aminopiperidines with analgesic effect)

RN 209902-04-5 CAPLUS

Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-piperidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

209902-05-6 CAPLUS

Benzamide, N,N-diethyl-4-[(4-methylphenyl)[1-(phenylmethyl)-4-CN piperidinyl]amino]- (9CI) (CA INDEX NAME)

CN Benzamide, N,N-diethyl-4-[(4-methylphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 209902-07-8 CAPLUS
CN Benzamide, N,N-diethyl-4-[1-naphthalenyl[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 209902-10-3 CAPLUS
CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)-, monohydrochloride
(9CI) (CA INDEX NAME)

● HC1

RN 209902-11-4 CAPLUS
CN Benzamide, N,N-diethyl-4-(1-naphthalenyl-4-piperidinylamino)- (9CI) (CA INDEX NAME)

10628309

RN 209902-12-5 CAPLUS

CN Benzamide, N,N-diethyl-4-(2-naphthalenyl-4-piperidinylamino)- (9CI) (CA INDEX NAME)

RN 209902-13-6 CAPLUS

CN Benzamide, N,N-diethyl-4-(2-naphthalenyl-4-piperidinylamino)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM :

CRN 209902-12-5 CMF C26 H31 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-phenylethyl)-4-piperidinyl]amino](9CI) (CA INDEX NAME)

$$\begin{array}{c} \cdot \\ \text{Ph-CH}_2\text{--CH}_2 \\ \hline \\ N \\ \hline \\ N \\ \end{array} \begin{array}{c} \circ \\ \parallel \\ \text{C-NEt}_2 \\ \end{array}$$

RN 209902-34-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-3-pyrrolidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 209902-35-2 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)

RN 209902-36-3 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-3-pyrrolidinylamino)-, dihydrochloride (9CI) (CA INDEX NAME)

10628309

●2 HC1

209902-39-6 CAPLUS

CN Benzenesulfonamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4piperidinyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 209902-38-5 C28 H35 N3 O2 S CMF

CM

CRN 76-05-1 CMF C2 H F3 O2

209902-40-9 CAPLUS

RN Benzenesulfonamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)- (9CI) CN INDEX NAME)

209902-41-0 CAPLUS

RN Benzenesulfonamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME) CN

CM

CRN 209902-40-9

CMF C21 H29 N3 O2 S

$$\begin{array}{c|c} & \circ & \circ \\ \parallel & \parallel & \cdots & \parallel \\ \vdash & \parallel & \cdots & \parallel \\ \vdash & \parallel & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \cdots & \parallel \\ \downarrow & 0 & \cdots & \cdots & \cdots & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 & \cdots & \cdots \\ \downarrow & 0 & \cdots & 0 &$$

CM

CRN 76-05-1 CMF C2 H F3 O2

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN L6

1982:53221 CAPLUS ΑN

DΝ 96:53221

ΤI Curing agents for epoxy resins

Matsushita Electric Works, Ltd., Japan PA

Jpn. Kokai Tokkyo Koho, 5 pp. SO

CODEN: JKXXAF

DTPatent

LA Japanese

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	JP 56118415	A2	19810917	JP 1980-21889	19800222
PRAT	JP 1980-21889		19800222		

GΙ

$$Z = \begin{bmatrix} R & O & OH \\ R1 & O & \end{bmatrix}_{2}^{2}$$

A curing agent for epoxy resins is a diamine I (Z = divalent group containing \geq 1 aromatic or heterocyclic ring; R, R1 = H, alkyl; the phenol ring may be substituted by alkyl, OH, or halogen; the 2 substituents on Z need not be the same). Thus, 0.335 mol 4,4'-diaminodiphenylmethane [101-77-9] and 1.34 mol N-(p-hydroxyphenyl)maleimide (II) [7300-91-6] were heated at $180-220^{\circ}$ for 60 min to obtain a dark brown curing agent [80451-45-2] with mol. weight 950, which was soluble in N-methyl-2-pyrrolidone, Me2SO, DMF, dioxane, MeCOEt, and MeOH. A mixture of 100 g Epikote 154 $\{63939-13-9\}$ and 125.5 g of the curing agent was heated at 170° for 2 h and at 200° for 3 h. The product had Tg 255° and gel time (50% acetone solution, 160°) 7.0 min. Epikote 828 containing a reaction product of 3,3'-diaminobenzidine and II had Tg 250° and gel time 3.5 min.

IT 80451-45-2

RL: MOA (Modifier or additive use); USES (Uses) (crosslinking agents, for epoxy resins, preparation of)

RN 80451-45-2 CAPLUS

CN

CN 2,5-Pyrrolidinedione, 3,3',3'',3'''-[methylenebis(4,1-phenylenenitrilo)]tetrakis[1-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \\ \text{O} \\ \\ \text{N} \\ \\ \text{O} \\ \\ \text{OH} \\ \\ \text{$$

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ANSWER 22 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN
       1961:116079 CAPLUS
DN
       55:116079
OREF 55:21792e-i
       Ultraviolet absorption of maleic and fumaric arylmonoamides and
       N-arylaspartic arylimides
AII
       Grammaticakis, Panos
SO
       Compt. rend. (1961), 252, 556-8
       Journal
LA
       Unavailable
       Series of maleic and fumaric arylmonoamides and N-arylaspartic arylimides
       were prepared and their ultraviolet spectra examined The compds. and their
       m.p. (slow and instantaneous m.p. on the Maquenne block) were: maleic arylmonoamides, aryl = phenyl 220°, 232°; tolyl o-121°, 126°, m-172°, 186°, p-213°, 217°; 2,6-dimethylphenyl 178°, 186°;
      217°; 2,6-dimethylphenyl 178°, 186°;
2,4,6-trimethylphenyl 164°, -; chlorophenyl o- 137°,
140°, m- 209°, 222°, p- 212°, 225°;
methoxyphenyl o- 147°, 152°, m- 168°, 172°, p-
195°, 212°; nitrophenyl o- 157°, 166°, m-
207°, 233°, p- 206°, 232°; and carboxyphenyl
o- 205°, 228° (decompose), m- 245°, 280°
(decompose), p- 252°, 285° (decompose); fumaric arylmonoamides,
aryl = phenyl 246°, 247°; tolyl o- 243°, 244°,
m- 199-200°, -; 2,6-dimethylphenyl 267°, -;
2,4,6-trimethylphenyl 281°, -; chlorophenyl o- 247°,
249°, p- 279°, 280°; N-arylaspartic arylimides, aryl
= phenyl, 215°, 216°; tolyl o- 129°, 148°, p-
214°, 218°; m-chlorophenyl 154°, 156°. The
principal spectral relations found for the maleic arylmonoamides
       principal spectral relations found for the maleic arylmonoamides
        (anomalous ortho effect, steric effect, etc.) were identical to those
       determined previously for N-acylarylamines (CA 45, 7430h). Comparison of
       N-benzoylarylamines with the corresponding maleic arylmonoamide shows a
       displacement of the absorption of the latter toward the visible.
       Comparison of the spectra of RCONHAr, where R is MeCH:CH, Ph, or
       HO2CCH:CH, as in the maleic and fumaric arylmonoamides, shows the spectral
       conjugation effect of the free CO2H to be strong and to depend on the
       spectral type of the arylamine. Differences between the spectra of the
       maleic and fumaric arylmonoamides are slight, the fumaric showing a slight
       exhaltation of the position and \epsilon max of the principal absorption
       band A. Spectra of the N-arylaspartic arylimides were found to be
       completely different from those of the corresponding maleic
       arylmonoamides, but related to those of the corresponding N-methylated
       arylamines.
IT
       109558-25-0, Succinimide, 2-p-toluidino-N-p-tolyl-
            (spectrum of)
        109558-25-0 CAPLUS
RN
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Succinimide, 2-p-toluidino-N-p-tolyl- (6CI) (CA INDEX NAME)